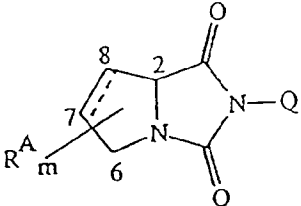




## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification <sup>5</sup> : C07D 487/04, A01N 43/90 C07F 9/6561, 7/18 // (C07D 487/04, 235:00, 209:00)</p>	A1	<p>(11) International Publication Number: <b>WO 94/05668</b>  (43) International Publication Date: 17 March 1994 (17.03.94)</p>
<p>(21) International Application Number: PCT/EP93/02413 (22) International Filing Date: 6 September 1993 (06.09.93)  (30) Priority data: 07/942,800 10 September 1992 (10.09.92) US  (71) Applicant (for all designated States except US): DEGUSSA AKTIENGESELLSCHAFT [DE/DE]; Weißfrauenstraße 9, D-60311 Frankfurt am Main (DE).  (72) Inventors; and (75) Inventors/Applicants (for US only) : SCHÄFER, Matthias [DE/DE]; Sonnenstraße 2, D-63808 Haibach (DE). DRAUZ, Karlheinz [DE/DE]; Zur Marienruhe 13, D-63579 Freigericht (DE). FEIT, Dieter [DE/DE]; Siedlungsstraße 1, D-63607 Wächtersbach (DE). AMUTI, Kofi, Sam [GH/US]; 5412 Valley Green Drive, Wilmington, DE 19808 (US).</p>		<p>(74) Agent: V. BIEDERSEE, Heidereich; Degussa Aktiengesellschaft, Patentabteilung, Postfach 13 45, Rodenbacher Chaussee 4, D-63403 Hanau (DE).  (81) Designated States: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report.</i></p>
<p>(54) Title: BICYCLIC IMIDES AS HERBICIDES</p>		
<div style="display: flex; align-items: center; justify-content: center;">  <div style="margin-left: 20px;">(I)</div> </div>		
<p>(57) Abstract</p> <p>Bicyclic imides of formula (I), wherein the bond linking C-7 and C-8 may be single or double; m is 1-7; R<sup>A</sup> can occupy one or more of the 2 or 6-8 positions and is independently selected from the group: hydroxy, halogen, CN, OR<sup>3</sup>, (C<sub>1</sub>-C<sub>4</sub>)alkyl, S(O)<sub>n</sub>R<sup>3</sup>, COR<sup>3</sup>, C(O)SR<sup>3</sup> and C(O)NR<sup>11</sup>R<sup>12</sup>; and Q is a phenylic residue substituted with one or more inorganic and/or organic residues which can be substituted, interrupted and/or combined with the aromatic residue with one or more hetero atoms such as N, O or S, preferably at least in the 4' position. These compounds are made from aryl isocyanates of the general formula Q - N = C = O and proline carboxylic acids. The compounds are useful as herbicides.</p>		

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## Bicyclic Imides as herbicides

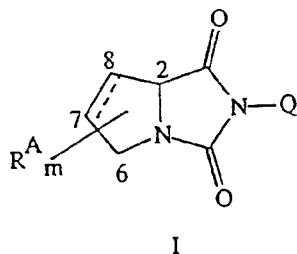
### Description

This invention relates to novel bicyclic imides; a method for their preparation; and their use as herbicides.

It has already been disclosed that certain heterocyclic imides (see EP-A 272 594, EP-A 493 323, EP-B 0 070 389, EP-B 0 104 532) can be employed as herbicides.

Now novel bicyclic imides have been found that exhibit markedly better herbicidal activity with excellent selectivity.

The subject of the present invention therefore comprises compounds of formula I



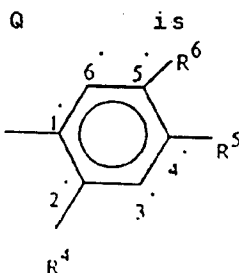
wherein

the bond linking C-7 and C-8 may be single or double;

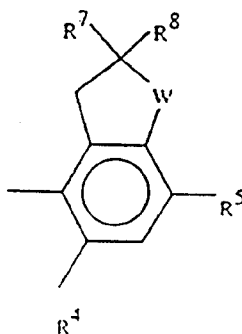
m is 1 - 7;

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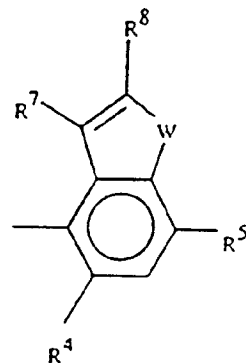
$R^A$  can occupy one or more of the 2 or 6 - 8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)alkyl$ ,  $S(O)_n R^3$ ,  $COR^3$ ,  $C(O)SR^3$  and  $C(O)NR^{11}R^{12}$ ;



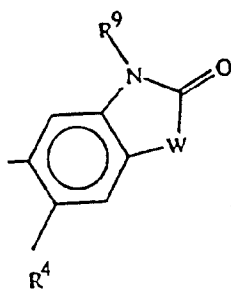
Q-1



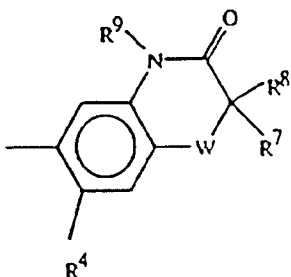
Q-2



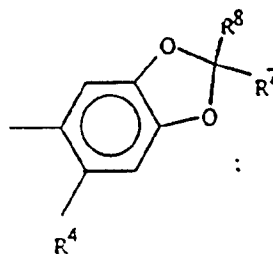
Q-3



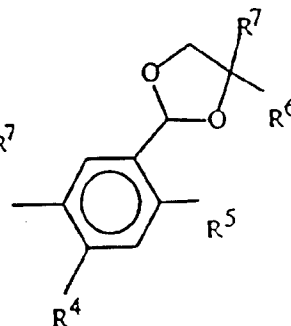
Q-4



Q-5



Q-6



Q-7

wherein

$R^3$  is  $(C_1-C_8)alkyl$ ,  $(C_3-C_8)cycloalkyl$ ,  $(C_3-C_8)alkenyl$ ,  $(C_3-C_8)alkynyl$ ,  $(C_1-C_8)haloalkyl$ ,  $(C_2-C_8)alkoxyalkyl$ ,  $(C_2-C_4)carboxy alkyl$ ,  $(C_3-C_8)alkoxycarbonylalkyl$ ,  $(C_4-C_8)alkenyloxyalkyl$ ,  $(C_4-C_8)alkynyloxyalkyl$ ,  $(C_3-C_8)haloalkoxyalkyl$ ,  $(C_3-C_8)trialkylsilyl$ ,  $(C_3-C_8)cyanoalkyl$ ,  $(C_3-C_8)haloalkenyl$ ,  $(C_3-C_8)haloalkynyl$ ,  $(C_2-C_8)alkylcarbonyl$ ,  $(C_2-C_8)alkoxycarbonyl$ ,  $(C_2-C_8)haloalkoxycarbonyl$ ,

$P(O)(OR^{17})_2$ ,  $CHR^{16}P(O)(OR^{17})_2$  or  $CHR^{16}P(S)(OR^{17})_2$ ,  
phenyl or benzyl optionally substituted with  
halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;

$R^4$  is hydrogen or halogen;

$R^5$  is  $(C_1-C_2)$ alkyl,  $(C_1-C_2)$ haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  
 $OCHF_2$ , halogen, CN or  $NO_2$ ;

$R^6$  is hydrogen,  $(C_1-C_8)$ alkyl,  $(C_1-C_8)$ haloalkyl,  
halogen,  $OR^{10}$ ,  $S(O)_nR^{10}$ ,  $COR^{10}$ ,  $C(O)SR^{10}$ ,  
 $C(O)NR^{11}R^{12}$ ,  $CHO$ ,  $CH=CHCO_2R^{10}$ ,  $CO_2N=CR^{13}R^{14}$ ,  $NO_2$ ,  
CN,  $NHSO_2R^{15}$  or  $NHSO_2NHR^{15}$ ;

$R^7$  and  $R^8$  are independently hydrogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or halogen; when Q  
is Q-2 or Q-6,  $R^7$  and  $R^8$  together with the carbon  
to which they are attached may be  $C=O$ ;

$R^9$  is  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ haloalkyl,  
 $(C_2-C_6)$ alkoxyalkyl,  $(C_3-C_6)$ alkenyl or  
 $(C_3-C_6)$ alkynyl;

$R^{10}$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  
 $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  $(C_1-C_8)$ haloalkyl,  
 $(C_2-C_8)$ alkoxyalkyl,  $(C_2-C_6)$ alkylthioalkyl,  
 $(C_2-C_8)$ alkylsulfinylalkyl,  
 $(C_2-C_8)$ alkylsulfonylalkyl,  
 $(C_3-C_8)$ alkoxyalkoxyalkyl,  $(C_4-C_8)$ cycloalkylalkyl,  
 $(C_2-C_4)$ carboxyalkyl,  $(C_3-C_8)$ alkoxycarbonylalkyl,  
 $(C_6-C_8)$ alkenyloxyalkyl,  
 $(C_6-C_8)$ alkynyloxyalkyl,  
 $(C_6-C_8)$ cycloalkoxyalkyl,  $(C_4-C_8)$ alkenyloxyalkyl,  
 $(C_4-C_8)$ alkynyloxyalkyl,  $(C_3-C_8)$ haloalkoxyalkyl,  
 $(C_4-C_8)$ haloalkenyloxyalkyl,  
 $(C_4-C_8)$ haloalkynyloxyalkyl,  
 $(C_6-C_8)$ cycloalkylthioalkyl,  
 $(C_4-C_8)$ alkenylthioalkyl,  $(C_4-C_8)$ alkynylthioalkyl,

...

$(C_4-C_8)$ trialkylsilylalkyl,  $(C_3-C_8)$ cyanoalkyl,  
 $(C_3-C_8)$ halocycloalkyl,  $(C_3-C_8)$ haloalkenyl,  
 $(C_5-C_8)$ alkoxyalkenyl,  $(C_5-C_8)$ haloalkoxyalkenyl,  
 $(C_5-C_8)$ alkylthioalkenyl,  $(C_3-C_8)$ haloalkynyl,  
 $(C_5-C_8)$ alkoxyalkynyl,  $(C_5-C_8)$ haloalkoxyalkynyl,  
 $(C_5-C_8)$ alkylthioalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  
 $CHR^{16}COR^{17}$ ,  $CHR^{16}P(O)(OR^{17})_2$ ,  $P(O)(OR^{17})_2$ ,  
 $CHR^{16}P(S)(OR^{17})_2$ ,  $CHR^{16}C(O)NR^{11}R^{12}$ ,  $CHR^{16}C(O)NH_2$ ,  
 $(C_1-C_4)$ alkyl substituted with phenoxy or benzyloxy  
optionally substituted with halogen,  $(C_1-C_3)$ alkyl  
or  $(C_1-C_3)$ haloalkyl; benzyl optionally substituted  
with halogen,  $(C_1-C_3)$ alkyl or  $(C_1-C_3)$ haloalkyl; or  
phenyl and pyridyl optionally substituted with  
halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;  
 $R^{11}$  and  $R^{13}$  are independently hydrogen or  
 $(C_1-C_4)$ alkyl;  
 $R^{12}$  and  $R^{14}$  are independently  $(C_1-C_4)$ alkyl, or  
phenyl optionally substituted with halogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  $(C_1-C_4)$ alkoxy;  
 $R^{11}$  and  $R^{12}$  may be taken together as  $-(CH_2)_5-$ ,  
 $-(CH_2)_4-$  or  $-CH_2CH_2OCH_2CH_2-$ , in which  
optionally one or more H-atoms may be replaced by  
 $(C_1-C_3)$ alkyl, phenyl or benzyl;  
 $R^{13}$  and  $R^{14}$  may be taken together with the carbon  
to which they are attached to form  
 $(C_3-C_8)$ cycloalkyl;  
 $R^{15}$  is  $(C_1-C_4)$ alkyl or  $(C_1-C_4)$ haloalkyl;  
 $R^{16}$  is hydrogen or  $(C_1-C_3)$ alkyl;  
 $R^{17}$  is  $(C_1-C_6)$ alkyl,  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl;  
W is O or S;  
n is 0, 1 or 2;  
provided that

...

when Q is not fused to a ring bridging the 5'- and 6'-position and C-7 and C-8 are linked by a single bond, then at least one R<sup>A</sup> is other than hydroxy, halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl and (C<sub>1</sub>-C<sub>4</sub>)alkoxy.

The subject of the present invention comprises further bicyclic imides selected from the group consisting of 4-[4'-chloro-2'-fluoro-5'-(prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo-[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(2-propynyloxy)phenyl]-3,5-dioxo-7-chloro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-ethoxy)phenyl]-3,5-dioxo-7,7-difluoro-1,4-diazabicyclo[3.3.0]octane and stereoisomers thereof.

In the above definitions, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl", includes straight chain or branched alkyl, e. g., methyl, ethyl, n-propyl, isopropyl or the different butyl isomers. Alkoxy includes e. g. methoxy, ethoxy, n-propyloxy, isopropyloxy and the different butoxy isomers. Alkenyl includes straight chain or branched alkenes, e. g., 1-propenyl, 2-propenyl, 3-propenyl and the different butenyl isomers. Cycloalkyl includes e. g. cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. The term "halogen", either alone or in compound words such as "haloalkyl", means fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl" said alkyl may be partially or fully

...

substituted with halogen atoms, which may be the same or different. Examples of haloalkyl include  $\text{CH}_2\text{CH}_2\text{F}$ ,  $\text{CF}_2\text{CF}_3$  and  $\text{CH}_2\text{CHFCl}$ .

More preferred are compounds of formula I having at least one of the following specifications

- $\text{R}^3$  is preferred  $(\text{C}_1-\text{C}_4)$ alkyl,  $(\text{C}_3-\text{C}_6)$ cycloalkyl,  $(\text{C}_3-\text{C}_6)$ alkenyl,  $(\text{C}_3-\text{C}_6)$ alkynyl,  $(\text{C}_1-\text{C}_4)$ haloalkyl,  $(\text{C}_2-\text{C}_4)$ alkoxyalkyl,  $(\text{C}_2-\text{C}_4)$ carboxyalkyl,  $(\text{C}_3-\text{C}_6)$ alkoxycarbonylalkyl,  $(\text{C}_4-\text{C}_6)$ alkenyloxyalkyl,  $(\text{C}_4-\text{C}_6)$ alkynyloxyalkyl,  $(\text{C}_3-\text{C}_6)$ haloalkoxyalkyl,  $(\text{C}_3-\text{C}_6)$ trialkylsilyl,  $(\text{C}_3-\text{C}_6)$ cyanoalkyl,  $(\text{C}_3-\text{C}_6)$ haloalkenyl,  $(\text{C}_3-\text{C}_6)$ haloalkynyl,  $(\text{C}_2-\text{C}_6)$ alkyl carbonyl,  $\text{P}(\text{O})(\text{OR}^{17})_2$ ,  $(\text{C}_2-\text{C}_6)$ alkoxycarbonyl,  $(\text{C}_2-\text{C}_6)$ haloalkoxycarbonyl,  $\text{CHR}^{16}\text{P}(\text{O})(\text{OR}^{17})_2$  or  $\text{CHR}^{16}\text{P}(\text{S})(\text{OR}^{17})_2$ , phenyl or benzyl optionally substituted with halogen,  $(\text{C}_1-\text{C}_3)$ alkyl,  $(\text{C}_1-\text{C}_3)$ haloalkyl or  $(\text{C}_1-\text{C}_4)$ alkoxy;
- $\text{R}^5$  is halogen or CN;
- $\text{R}^6$  is hydrogen,  $(\text{C}_1-\text{C}_4)$ alkyl,  $(\text{C}_1-\text{C}_4)$ haloalkyl, halogen,  $\text{OR}^{10}$ ,  $\text{S}(\text{O})_n\text{R}^{10}$ ,  $\text{COR}^{10}$ ,  $\text{CO}_2\text{R}^{10}$ ,  $\text{C}(\text{O})\text{SR}^{10}$ ,  $\text{C}(\text{O})\text{NR}^{11}\text{R}^{12}$ ,  $\text{CH}=\text{CHCO}_2\text{R}^{10}$ ,  $\text{CO}_2\text{N}=\text{CR}^{13}\text{R}^{14}$ ,  $\text{NHSO}_2\text{R}^{15}$  or  $\text{NHSO}_2\text{NHR}^{15}$ ;
- $\text{R}^7$  and  $\text{R}^8$  are independently hydrogen,  $(\text{C}_1-\text{C}_3)$ alkyl or  $(\text{C}_1-\text{C}_3)$ haloalkyl; when Q is Q-2 or Q-6,  $\text{R}^7$  and  $\text{R}^8$  together with the carbon to which they are attached may be  $\text{C}=\text{O}$ ;
- $\text{R}^9$  is  $(\text{C}_1-\text{C}_4)$ alkyl,  $(\text{C}_1-\text{C}_4)$ haloalkyl,  $(\text{C}_2-\text{C}_4)$ alkoxyalkyl,  $(\text{C}_3-\text{C}_6)$ alkenyl or  $(\text{C}_3-\text{C}_6)$ alkynyl;



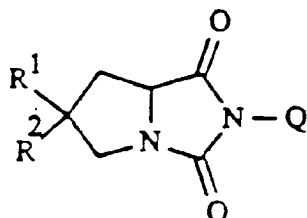
$R^{10}$  is  $(C_1-C_4)$ alkyl,  $(C_3-C_6)$ cycloalkyl,  
 $(C_3-C_6)$ alkenyl,  $(C_3-C_6)$ alkynyl,  $(C_1-C_4)$ haloalkyl,  
 $(C_2-C_4)$ alkoxyalkyl,  $(C_2-C_4)$ alkylthioalkyl,  
 $(C_2-C_4)$ alkylsulfinylalkyl,  
 $(C_2-C_4)$ alkylsulfonylalkyl,  
 $(C_3-C_6)$ alkoxyalkoxyalkyl,  $(C_4-C_8)$ cycloalkylalkyl,  
 $(C_2-C_4)$ carboxyalkyl,  $(C_3-C_6)$ alkoxycarbonylalkyl,  
 $(C_6-C_8)$ alkenyloxyalkyl,  
 $(C_6-C_8)$ alkynyloxyalkyl,  
 $(C_6-C_8)$ cycloalkoxyalkyl,  $(C_4-C_6)$ alkenyloxyalkyl,  
 $(C_4-C_6)$ alkynyloxyalkyl,  $(C_3-C_6)$ haloalkoxyalkyl,  
 $(C_4-C_6)$ haloalkenyloxyalkyl,  
 $(C_4-C_6)$ haloalkynyloxyalkyl,  
 $(C_6-C_8)$ cycloalkylthioalkyl,  
 $(C_4-C_6)$ alkenylthioalkyl,  $(C_4-C_6)$ alkynylthioalkyl,  
 $(C_4-C_8)$ trialkylsilylalkyl,  $(C_3-C_4)$ cyanoalkyl,  
 $(C_3-C_6)$ halocycloalkyl,  $(C_3-C_6)$ haloalkenyl,  
 $(C_5-C_6)$ alkoxyalkenyl,  $(C_5-C_6)$ haloalkoxyalkenyl,  
 $(C_5-C_6)$ alkylthioalkenyl,  $(C_3-C_6)$ haloalkynyl,  
 $(C_5-C_6)$ alkoxyalkynyl,  $(C_5-C_6)$ haloalkoxyalkynyl,  
 $(C_5-C_6)$ alkylthioalkynyl,  $(C_2-C_4)$ alkyl carbonyl,  
 $CHR^{16}COR^{17}$ ,  $CHR^{16}P(O)(OR^{17})_2$ ,  $P(O)(OR^{17})_2$ ,  
 $CHR^{16}P(S)(OR^{17})_2$ ,  $CHR^{16}C(O)NR^{11}R^{12}$ ,  $CHR^{16}C(O)NH_2$ ,  
 $(C_1-C_2)$ alkyl substituted with phenoxy or benzyloxy  
optionally substituted with halogen,  $(C_1-C_3)$ alkyl  
or  $(C_1-C_3)$ haloalkyl; benzyl optionally substituted  
with halogen,  $(C_1-C_2)$ alkyl or  $(C_1-C_2)$ haloalkyl; or  
phenyl and pyridyl optionally substituted with  
halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;  
 $R^{12}$  and  $R^{14}$  are independently  $(C_1-C_2)$ alkyl, phenyl  
optionally substituted with halogen,  $(C_1-C_2)$ alkyl,  
 $(C_1-C_2)$ haloalkyl or  $(C_1-C_2)$ alkoxy;

...

$R^{11}$  and  $R^{12}$  may be taken together as  $-(CH_2)_5-$ ,  $-(CH_2)_4-$  or  $-CH_2CH_2OCH_2CH_2-$ , each ring optionally substituted with  $(C_1-C_2)$ alkyl, phenyl or benzyl;  
 $R^{13}$  and  $R^{14}$  may be taken together with the carbon to which they are attached to form  $(C_3-C_6)$ cycloalkyl;  
 $R^{17}$  is  $(C_1-C_4)$ alkyl,  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl.

Compounds having a substituted proline residue, particularly in 7-position, exhibit a beneficial effect on undesired plants, preferred are fluoro, bromo or chloro.

Particularly preferred method of use employs compounds of formula II



II

in which

$R^1$  is hydrogen, halogen,  $(C_1-C_4)$ alkyl,  $OR^3$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $CO_2R^3$ ,  $C(O)SR^3$ ,  $C(O)NR^{11}R^{12}$  or CN;  
 $R^2$  is halogen,  $(C_1-C_4)$ alkyl,  $OR^3$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $CO_2R^3$ ,  $C(O)SR^3$ ,  $C(O)NR^{11}R^{12}$  or CN.

Especially preferred method of use employs compounds of formula II in which at least one of  $R^1 - R^3$  has the meaning

...

- $R^1$  = hydrogen or  $(C_1-C_4)$ alkyl;  
 $R^2$  = fluoro, chloro, bromo,  $OR^3$ ,  $S(O)_nR^3$ ,  
 $CO_2R^3$ ,  $C(O)NR^{11}R^{12}$  or CN;  
 $R^3$  =  $(C_1-C_4)$ alkyl,  $(C_3-C_6)$ cycloalkyl,  $(C_3-C_6)$ alkenyl,  
 $(C_3-C_6)$ alkynyl,  $(C_1-C_4)$ haloalkyl or  
 $(C_3-C_6)$ trialkylsilyl.

Most preferred method of use employs compounds of formula II with at least one of the following specifications

- $R^1$  = hydrogen,  
 $R^2$  = fluoro, chloro, bromo or  $OR^3$ ,  
 $R^3$  =  $(C_1-C_2)$ alkyl,  $(C_1-C_2)$ haloalkyl,

and in Q

- $R^4$  is fluoro or chloro;  
 $R^5$  is chloro;  
 $R^6$  is  $OR^{10}$ ,  $CO_2R^{10}$ ,  $NHSO_2R^{10}$  or  $SR^{10}$ ;  
 $R^7$  is hydrogen;  
 $R^8$  is hydrogen or methyl;  
 $R^9$  is  $(C_3-C_4)$ alkenyl or  $(C_3-C_4)$ alkynyl;  
 $R^{10}$  is  $(C_1-C_4)$ alkyl,  $(C_3-C_6)$ cycloalkyl,  
 $(C_3-C_6)$ alkenyl,  $(C_3-C_4)$ alkynyl,  $(C_1-C_3)$ haloalkyl,  
 $(C_2-C_4)$ alkoxyalkyl,  $(C_3-C_6)$ alkoxycarbonylalkyl,  
 $(C^6-C^8)$ alkenyloxycarbonylalkyl,  
 $(C^6-C^8)$ alkynyloxycarbonylalkyl or  
 $(C^1-C^2)$ carboxyalkyl.

If not otherwise specified the invention relates to both the individual possible stereoisomers of formula

I and II and also mixtures of the isomers.  
Stereoisomers exhibiting the 2R-configuration are preferred to others.

The 2R-configuration exhibits significantly better control, e. g. up to 8-fold, compared with the 2S-configuration on undesired plants.

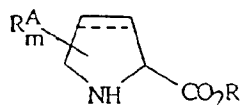
Subject of the invention is also a method for preparing the novel bicyclic imides comprising:

(a) reacting a compound of formula III



III

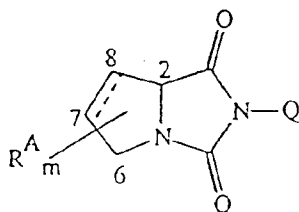
with a compound of formula IV



IV

wherein R=H or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyclizing the intermediate

and a method for preparing bicyclic imides of formula Ia



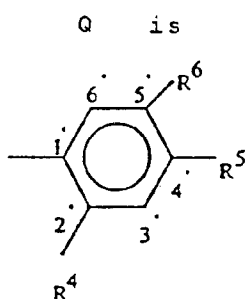
Ia

wherein

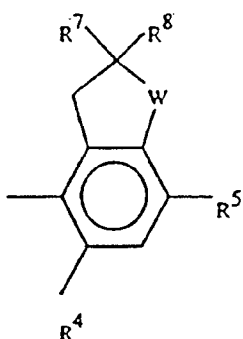
the bond linking C-7 and C-8 may be single or double;

m is 1 - 7;

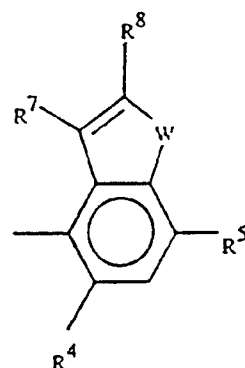
$R^A$  can occupy one or more of the 2 or 6 - 8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)alkyl$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$  and  $C(O)NR^{11}R^{12}$ ;



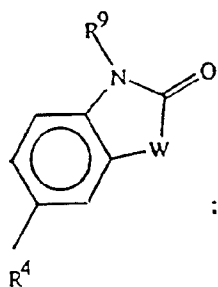
Q-1



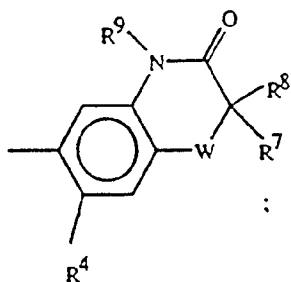
Q-2



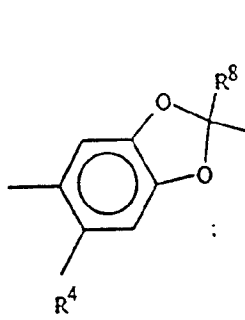
Q-3



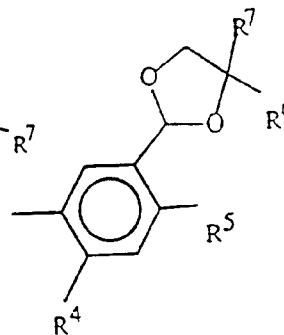
Q-4



Q-5



Q-6



Q-7

wherein

$R^3$  is  $(C_1-C_8)alkyl$ ,  $(C_3-C_8)cycloalkyl$ ,  $(C_3-C_8)alkenyl$ ,  $(C_3-C_8)alkynyl$ ,  $(C_1-C_8)haloalkyl$ ,  $(C_2-C_8)alkoxyalkyl$ ,  $(C_2-C_4)carboxy alkyl$ ,  $(C_3-C_8)alkoxycarbonylalkyl$ ,  $(C_4-C_8)alkenyloxyalkyl$ ,  $(C_4-C_8)alkynyloxyalkyl$ , ...

(C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)trialkylsilyl,  
 (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkoxycarbonyl, (C<sub>2</sub>-C<sub>8</sub>)haloalkoxycarbonyl,  
 P(O)(OR<sup>17</sup>)<sub>2</sub>, CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub> or CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>,  
 phenyl or benzyl optionally substituted with  
 halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

R<sup>4</sup> is hydrogen or halogen;

R<sup>5</sup> is (C<sub>1</sub>-C<sub>2</sub>)alkyl, (C<sub>1</sub>-C<sub>2</sub>)haloalkyl, OCH<sub>3</sub>, SCH<sub>3</sub>,  
 OCHF<sub>2</sub>, halogen, CN or NO<sub>2</sub>;

R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>1</sub>-C<sub>8</sub>)haloalkyl,  
 halogen, OR<sup>10</sup>, S(O)<sub>n</sub>R<sup>10</sup>, COR<sup>10</sup>, C(O)SR<sup>10</sup>,  
 C(O)NR<sup>11</sup>R<sup>12</sup>, CHO, CH=CHCO<sub>2</sub>R<sup>10</sup>, CO<sub>2</sub>N=CR<sup>13</sup>R<sup>14</sup>, NO<sub>2</sub>,  
 CN, NHSO<sub>2</sub>R<sup>15</sup> or NHSO<sub>2</sub>NHR<sup>15</sup>;

R<sup>7</sup> and R<sup>8</sup> are independently hydrogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or halogen; when Q  
 is Q-2 or Q-6, R<sup>7</sup> and R<sup>8</sup> together with the carbon  
 to which they are attached may be C=O;

R<sup>9</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkoxyalkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or  
 (C<sub>3</sub>-C<sub>6</sub>)alkynyl;

R<sup>10</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkenyl, (C<sub>3</sub>-C<sub>8</sub>)alkynyl, (C<sub>1</sub>-C<sub>8</sub>)haloalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkoxyalkyl, (C<sub>2</sub>-C<sub>6</sub>)alkylthioalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfinylalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfonylalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxyalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)cycloalkylalkyl,  
 (C<sub>2</sub>-C<sub>4</sub>)carboxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl,

...

- (C<sub>4</sub>-C<sub>8</sub>)haloalkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenylthioalkyl, (C<sub>4</sub>-C<sub>8</sub>)alkynylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)trialkylsilylalkyl, (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)halocycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkenyl, (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkenyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkynyl, (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 CHR<sup>16</sup>COR<sup>17</sup>, CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub>, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>, CHR<sup>16</sup>C(O)NH<sub>2</sub>,  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl substituted with phenoxy or benzyloxy  
 optionally substituted with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl  
 or (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; benzyl optionally substituted  
 with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; or  
 phenyl and pyridyl optionally substituted with  
 halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;
- R<sup>11</sup> and R<sup>13</sup> are independently hydrogen or  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl;
- R<sup>12</sup> and R<sup>14</sup> are independently (C<sub>1</sub>-C<sub>4</sub>)alkyl, or  
 phenyl optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or (C<sub>1</sub>-C<sub>4</sub>)alkoxy;
- R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-,  
 -(CH<sub>2</sub>)<sub>4</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, in which optionally  
 one or more H-atoms may be replaced by  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, phenyl or benzyl;
- R<sup>13</sup> and R<sup>14</sup> may be taken together with the carbon  
 to which they are attached to form  
 (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl;
- R<sup>15</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl or (C<sub>1</sub>-C<sub>4</sub>)haloalkyl;
- R<sup>16</sup> is hydrogen or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

...

$R^{17}$  is  $(C_1-C_6)$ alkyl,  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl;  
 $W$  is O or S;  
 $n$  is 0, 1 or 2;

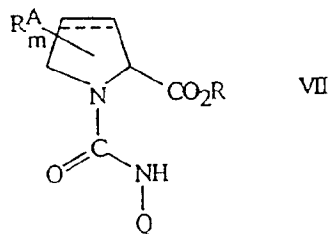
selected from the group consisting of (b) or (c):

(b) reacting a compound of formula IV, wherein  $R=H$  or  $(C_1-C_4)$ alkyl, with phosgene and then with an amine of formula VI



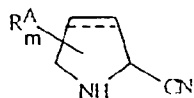
VI

to form compounds of formula VII,



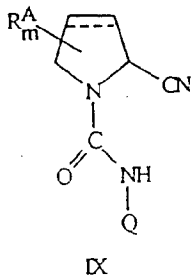
and cyclizing the compounds of formula VII, or

(c) reacting a compound of formula III with a compound of formula VIII



VIII

to form a compound of formula IX,

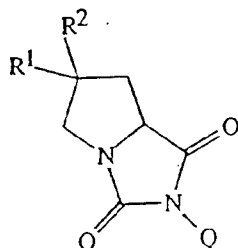


...



and hydrolyzing and cyclizing the compound of formula IX.

The novel bicyclic imides can be produced in a method comprising preparing a compound of formula II



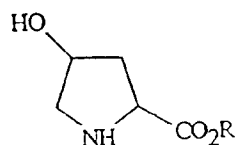
II

wherein

R<sup>1</sup> is R<sup>A</sup>

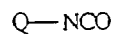
R<sup>2</sup> is R<sup>A</sup> and H

comprising reacting a compound of formula X,



X

wherein R=H or (C<sub>1</sub>-C<sub>4</sub>)alkyl, with a compound of general formula III,



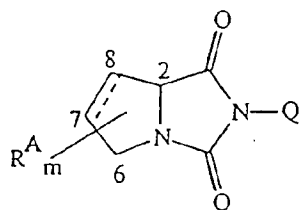
III

and converting the reaction product formed thereby.

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**SUBSTITUTE SHEET**

Subject of the invention is further a method for making compounds of formula Ia



Ia

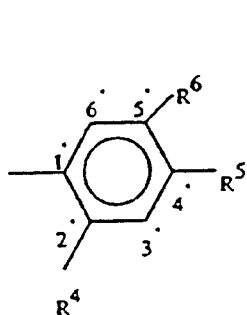
wherein

the bond linking C-7 and C-8 may be single or double;

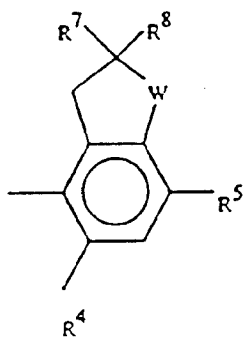
m is 1 - 7;

$R^A$  can occupy one or more of the 2 or 6 - 8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)alkyl$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$  and  $C(O)NR^{11}R^{12}$ ;

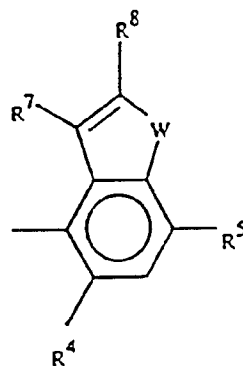
Q is



Q-1



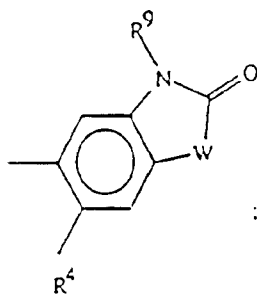
Q-2



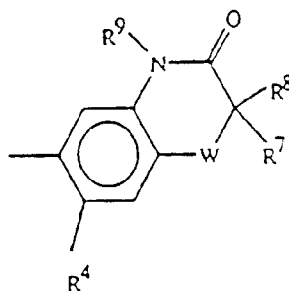
Q-3

...

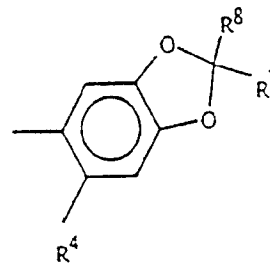
**SUBSTITUTE SHEET**



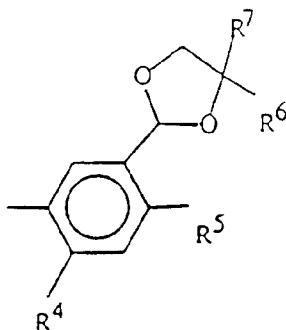
Q-4



Q-5



Q-6



Q-7

wherein

$R^3$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  $(C_1-C_8)$ haloalkyl,  $(C_2-C_8)$ alkoxyalkyl,  $(C_2-C_4)$ carboxy alkyl,  $(C_3-C_8)$ alkoxycarbonylalkyl,  $(C_4-C_8)$ alkenyloxyalkyl,  $(C_4-C_8)$ alkynyloxyalkyl,  $(C_3-C_8)$ haloalkoxyalkyl,  $(C_3-C_8)$ trialkylsilyl,  $(C_3-C_8)$ cyanoalkyl,  $(C_3-C_8)$ haloalkenyl,  $(C_3-C_8)$ haloalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  $(C_2-C_8)$ alkoxycarbonyl,  $(C_2-C_8)$ haloalkoxycarbonyl,  $P(O)(OR^{17})_2$ ,  $CHR^{16}P(O)(OR^{17})_2$  or  $CHR^{16}P(S)(OR^{17})_2$ , phenyl or benzyl optionally substituted with halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  $(C_1-C_4)$ alkoxy;

- $R^4$  is hydrogen or halogen;  
 $R^5$  is  $(C_1-C_2)$ alkyl,  $(C_1-C_2)$ haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  $OCHF_2$ , halogen, CN or  $NO_2$ ;  
 $R^6$  is  $OR^{10}$ ,  $S(O)_n R^{10}$ ,  $NHSO_2 R^{15}$  or  $NHSO_2 NHR^{15}$ ;  
 $R^7$  and  $R^8$  are independently hydrogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or halogen; when Q is Q-2 or Q-6,  $R^7$  and  $R^8$  together with the carbon to which they are attached may be  $C=O$ ;  
 $R^9$  is  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ haloalkyl,  $(C_2-C_6)$ alkoxyalkyl,  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl;  
 $R^{10}$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  $(C_1-C_8)$ haloalkyl,  $(C_2-C_8)$ alkoxyalkyl,  $(C_2-C_6)$ alkylthioalkyl,  $(C_2-C_8)$ alkylsulfinylalkyl,  $(C_2-C_8)$ alkylsulfonylalkyl,  $(C_3-C_8)$ alkoxyalkoxyalkyl,  $(C_4-C_8)$ cycloalkylalkyl,  $(C_2-C_4)$ carboxyalkyl,  $(C_3-C_8)$ alkoxycarbonylalkyl,  $(C_6-C_8)$ alkenyloxy carbonylalkyl,  $(C_6-C_8)$ alkynyloxy carbonylalkyl,  $(C_6-C_8)$ cycloalkoxyalkyl,  $(C_4-C_8)$ alkenyloxyalkyl,  $(C_4-C_8)$ alkynyloxyalkyl,  $(C_3-C_8)$ haloalkoxyalkyl,  $(C_4-C_8)$ haloalkenyloxyalkyl,  $(C_4-C_8)$ haloalkynyloxyalkyl,  $(C_6-C_8)$ cycloalkylthioalkyl,  $(C_4-C_8)$ alkenylthioalkyl,  $(C_4-C_8)$ alkynylthioalkyl,  $(C_4-C_8)$ trialkylsilylalkyl,  $(C_3-C_8)$ cyanoalkyl,  $(C_3-C_8)$ halocycloalkyl,  $(C_3-C_8)$ haloalkenyl,  $(C_5-C_8)$ alkoxyalkenyl,  $(C_5-C_8)$ haloalkoxyalkenyl,  $(C_5-C_8)$ alkylthioalkenyl,  $(C_3-C_8)$ haloalkynyl,  $(C_5-C_8)$ alkoxyalkynyl,  $(C_5-C_8)$ haloalkoxyalkynyl,  $(C_5-C_8)$ alkylthioalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  $CHR^{16}COR^{17}$ ,  $CHR^{16}P(O)(OR^{17})_2$ ,  $P(O)(OR^{17})_2$ ,

$\text{CHR}^{16}\text{P}(\text{S})(\text{OR}^{17})_2$ ,  $\text{CHR}^{16}\text{C}(\text{O})\text{NR}^{11}\text{R}^{12}$ ,  $\text{CHR}^{16}\text{C}(\text{O})\text{NH}_2$ ,  $(\text{C}_1\text{-C}_4)$ alkyl substituted with phenoxy or benzyloxy optionally substituted with halogen,  $(\text{C}_1\text{-C}_3)$ alkyl or  $(\text{C}_1\text{-C}_3)$ haloalkyl; benzyl optionally substituted with halogen,  $(\text{C}_1\text{-C}_3)$ alkyl or  $(\text{C}_1\text{-C}_3)$ haloalkyl; or phenyl and pyridyl optionally substituted with halogen,  $(\text{C}_1\text{-C}_3)$ alkyl,  $(\text{C}_1\text{-C}_3)$ haloalkyl or  $(\text{C}_1\text{-C}_4)$ alkoxy;

$\text{R}^{11}$  and  $\text{R}^{13}$  are independently hydrogen or  $(\text{C}_1\text{-C}_4)$ alkyl;

$\text{R}^{12}$  and  $\text{R}^{14}$  are independently  $(\text{C}_1\text{-C}_4)$ alkyl, or phenyl optionally substituted with halogen,  $(\text{C}_1\text{-C}_3)$ alkyl,  $(\text{C}_1\text{-C}_3)$ haloalkyl or  $(\text{C}_1\text{-C}_4)$ alkoxy;

$\text{R}^{11}$  and  $\text{R}^{12}$  may be taken together as  $-(\text{CH}_2)_5-$ ,  $-(\text{CH}_2)_4-$  or  $-\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2-$ , in which optionally one or more H-atoms may be replaced by  $(\text{C}_1\text{-C}_3)$ alkyl, phenyl or benzyl;

$\text{R}^{13}$  and  $\text{R}^{14}$  may be taken together with the carbon to which they are attached to form  $(\text{C}_3\text{-C}_8)$ cycloalkyl;

$\text{R}^{15}$  is  $(\text{C}_1\text{-C}_4)$ alkyl or  $(\text{C}_1\text{-C}_4)$ haloalkyl;

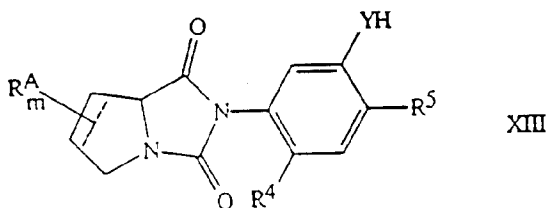
$\text{R}^{16}$  is hydrogen or  $(\text{C}_1\text{-C}_3)$ alkyl;

$\text{R}^{17}$  is  $(\text{C}_1\text{-C}_6)$ alkyl,  $(\text{C}_3\text{-C}_6)$ alkenyl or  $(\text{C}_3\text{-C}_6)$ alkynyl;

W is O or S;

n is 0, 1 or 2;

comprising reacting a compound of the formula XIII



wherein Y = O, S, NH with a halide selected from the group

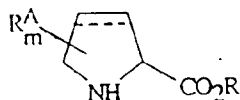
$R^{10}-Z$ ,  $R^{15}SO_2-Z$ , and  $R^{15}NHSO_2-Z$

wherein Z is chlorine, bromine or iodine.

The novel bicyclic imides of general formula I are obtained in accordance with the invention by a general method A if arylisocyanates of general formula III



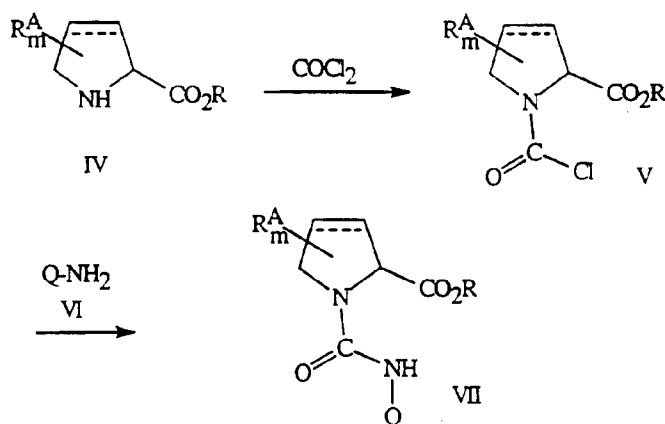
in which  $R^4$  to  $R^{17}$  have the meanings indicated above, and proline carboxylic acids (esters) of general formula IV



IV

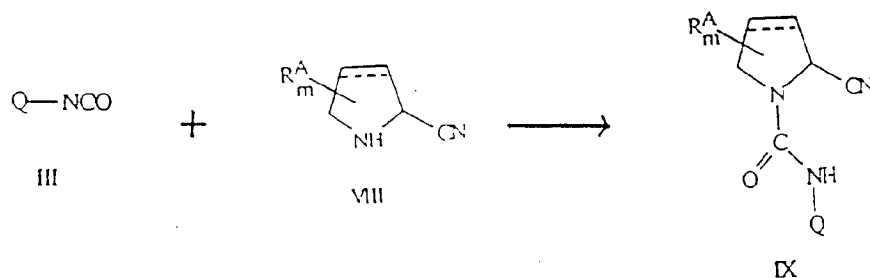
in which m and  $R^A$  have the meaning indicated above and  $R = H$  or  $(C_1-C_4)$ alkyl or active ester such as O-succinimid esters or anhydride esters are reacted in accordance with method A, optionally in the presence of an acid acceptor and optionally in the presence of a solvent.

A further subject of the invention is a method B for the preparation of compounds of formula I, which is outlined in what follows and  $m$  and  $R^A$  have the meanings indicated above. Therefor a compound of formula IV, wherein  $R = H$  or  $(C_1-C_4)$ alkyl, is reacted with phosgene or a phosgene substitute [e. g., triphosgene  $(CCl_3O)_2C=O$ ], first to compounds of formula V. Compounds of formula V are then reacted with compounds of formula VI to form compounds of formula VII. Subsequent cyclization forms compounds of formula I.



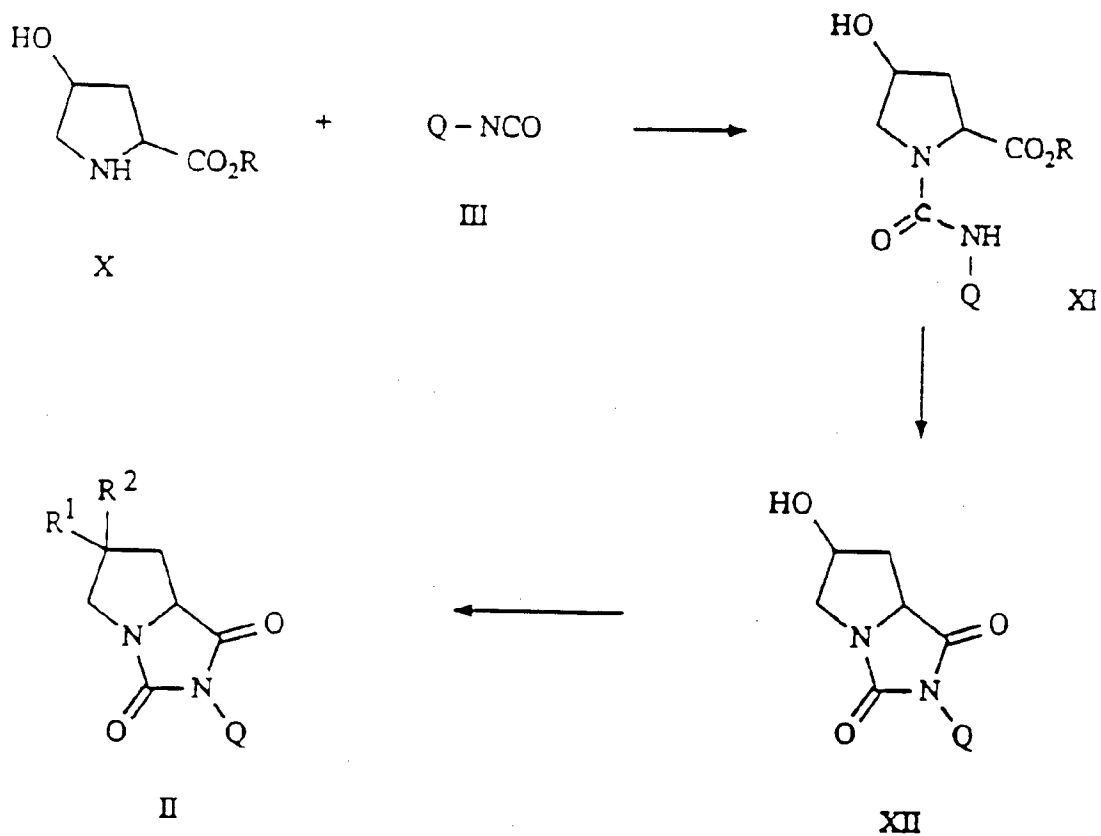
A further subject of the invention is method C for the preparation of compounds of formula I, which is outlined in what follows and  $m$  and  $R^A$  have the meanings indicated above, where a compound of formula III is reacted with a compound of formula VIII, optionally in the presence of an acid acceptor and optionally in the presence of a solvent, to a compound

of formula IX, and the compound IX so obtained is then hydrolysed and cyclized to compounds of formula I.

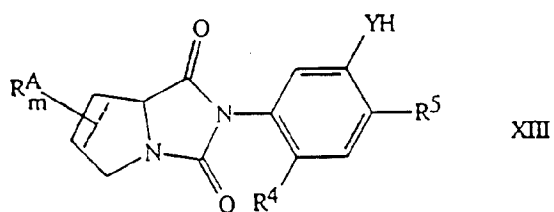


A further subject of the invention is method D for the preparation of compounds of formula II, which is outlined in what follows and  $R^1$  and  $R^2$  have the meaning indicated above. Therefor a compound of general formula X, wherein  $R = H$  or  $(C_1-C_4)$ alkyl, is reacted with a compound of general formula III, yielding a compound of general formula XI. Compounds of general formula XI are cyclized to compounds of general formula XII and converted to compounds of formula II.

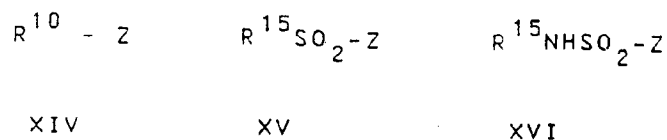




A further subject of the invention is a method E for the preparation of compounds of formula I by reacting compounds of general formula XIII



wherein m, R<sup>A</sup>, R<sup>4</sup> and R<sup>5</sup> have the meaning indicated above and Y = O, S, NH with a halide of the formula XIV, XV or XVI,



wherein Z is a chlorine-, bromine - or an iodine atom and R<sup>10</sup> and R<sup>15</sup> have the meanings indicated above.

In method A, the reaction for R = alkyl takes place in an inert organic solvent, for example in an aromatic solvent such as toluene, chlorobenzene, a halogenated hydrocarbon such as chloroform, methylene chloride, an ether such as diisopropyl ether, or in acetonitrile or dimethylformamide, optionally with base catalysis preferred at temperatures of 20 to 120°C. Preferably used as bases are organic bases, for example organic amines such as triethylamine or also pyridine (see EP-A 0 272 594).

For R = H, the reaction takes place in water as solvent or, preferably, in the two-phase system water organic solvent. Especially preferred is the mode of operation in which compounds of formula IV, optionally salts of IV, is added together in water with an inorganic base, for example an alkali or alkaline-earth metal hydroxide, carbonate or hydrogen carbonate, such as sodium hydroxide or also potassium carbonate, or an organic base, for example an organic amine such as triethylamine, and then compounds of formula III, dissolved in an inert solvent such as,

...

for example toluene, chlorobenzene or chloroform is added. The reaction mixture is then held advantageously at temperatures between  $-40^{\circ}\text{C}$  to  $+120^{\circ}\text{C}$ , preferably  $-10^{\circ}\text{C}$  to  $+40^{\circ}\text{C}$ , up to several days, preferably between 3 and 50 h.

The aqueous phase is then adjusted to a pH value between 1 and 3 with acid, preferably with an inorganic acid such as aqueous hydrochloric acid or aqueous sulfuric acid. The ureas of formula VII thus formed are then cyclized at temperatures between 50 and  $100^{\circ}\text{C}$  or, optionally, in the presence of an acid such as hydrochloric acid and/or hydroformic acid or, optionally by conversion to an ester ( $R = \text{alkyl}$ ) by known methods (see Houben-Weyl, "Methoden der organischen Chemie" [Methods of Organic Chemistry], Vol. XV (1974)).

In method D, the reaction for  $R = \text{H}$  and  $(\text{C}_1-\text{C}_4)\text{alkyl}$  takes place analogous to method A to give compounds of formula XII. Known methods (see Houben-Weyl, "Methoden der organischen Chemie" [Methods of Organic Chemistry] Vol. EP-B 0 078 191) and standard chemistry (see Advanced Organic Chemistry, Jerry March, second edition 1977) leads to compounds of formula II.

The compounds of formula III are known or can be prepared by analogy with known methods; see Houben-Weyl, "Methoden der organischen Chemie" [Methods of Organic Chemistry], Vol. VIII, p. 120 (1952), Houben-Weyl, Vol. IX, pp. 875, 869 (1955); EP-B 0 070 389; US-A 4 881 967; EP-A 0 322 401; US-A 3 495 967; EP-A 0 300 307; EP-A 0 349 832.

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Compounds of general formula IV or X are commercially available or prepared according to methods described in the literature (e. g. S. Kanenasa et al., J. Org. Chem. 56, 2875 (1991); P. Beaulien et al., J. Chem. Soc. Perkin. Trans. I 11, 2885 (1991); R.M. Kellog et al., Tetrahedron Lett. 32(30), 3727 (1991) and many more), Houben-Weyl, Vol. XXV/1 and XXV/2 (1974). The latter literature describes also the active esters.

Amines of general formula VIII are known or can be prepared in accordance with EP-A 0 073 569 or in an analogous fashion in accordance with the method described there.

The 2R-configuration can be achieved starting from the corresponding optically active proline or proline derivatives analogous to the methods specified above.

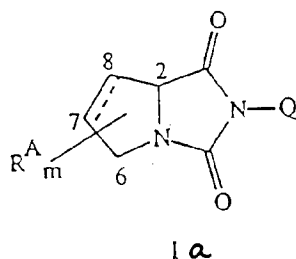
Finally, it was found that the bicyclic imides of general formula I and II exhibit outstanding herbicidal qualities.

A further subject of the invention is a composition for controlling weeds comprising an effective amount of at least one of the novel bicyclic imides and at least one carrier therefor.

A further subject of the invention is a method for controlling weeds comprising applying to the locus to be protected an effective amount of at least one of the novel bicyclic imides.

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A further subject of the invention is a method for controlling weeds in plantation crops and peanut comprising applying to the locus to be protected an effective amount of a compound of formula Ia:

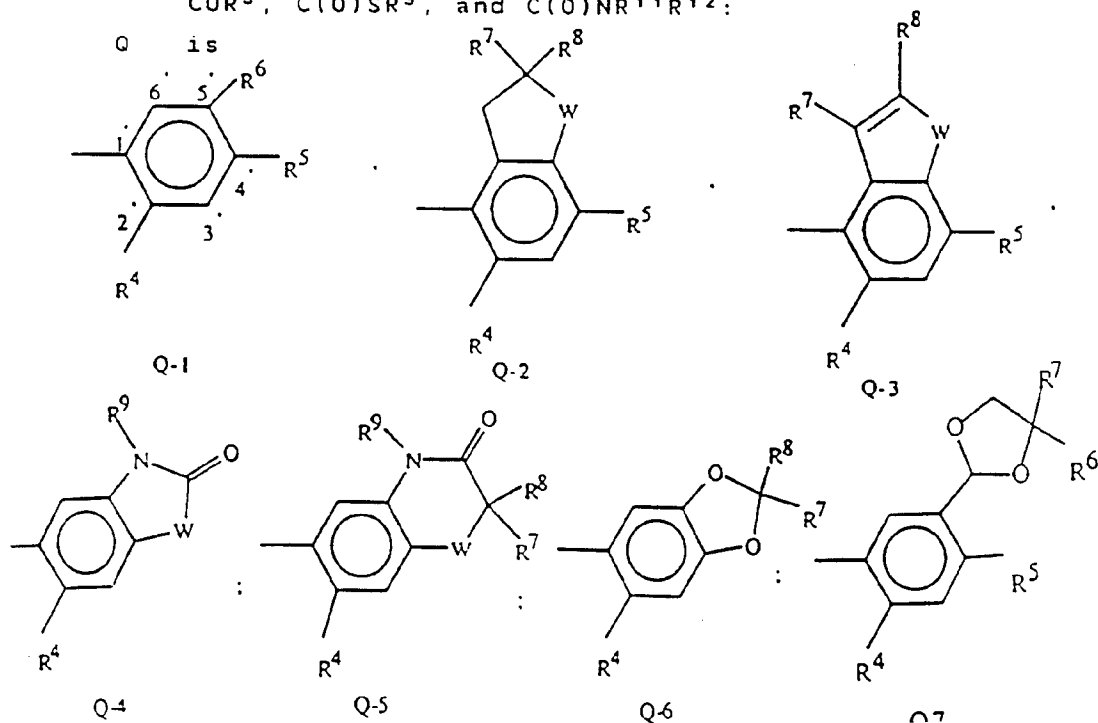


wherein

the bond linking C-7 and C-8 may be single or double;

m is 1 - 7;

R<sup>A</sup> can occupy one or more of the 2 or 6-8 positions and is independently selected from the group: hydroxy, halogen, CN, OR<sup>3</sup>, (C<sub>1</sub>-C<sub>4</sub>)alkyl, S(O)<sub>n</sub>R<sup>3</sup>, COR<sup>3</sup>, C(O)SR<sup>3</sup>, and C(O)NR<sup>11</sup>R<sup>12</sup>:



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wherein

- $R^3$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  
 $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  $(C_1-C_8)$ haloalkyl,  
 $(C_2-C_8)$ alkoxyalkyl,  $(C_2-C_4)$ carboxy alkyl,  
 $(C_3-C_8)$ alkoxycarbonylalkyl,  
 $(C_4-C_8)$ alkenyloxyalkyl,  $(C_4-C_8)$ alkynyloxyalkyl,  
 $(C_3-C_8)$ haloalkoxyalkyl,  $(C_3-C_8)$ trialkylsilyl,  
 $(C_3-C_8)$ cyanoalkyl,  $(C_3-C_8)$ haloalkenyl,  
 $(C_3-C_8)$ haloalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  
 $(C_2-C_8)$ alkoxycarbonyl,  $(C_2-C_8)$ haloalkoxycarbonyl,  
 $P(O)(OR^{17})_2$ ,  $CHR^{16}P(O)(OR^{17})_2$  or  $CHR^{16}P(S)(OR^{17})_2$ ,  
phenyl or benzyl optionally substituted with  
halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;
- $R^4$  is hydrogen or halogen;
- $R^5$  is  $(C_1-C_2)$ alkyl,  $(C_1-C_2)$ haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  
 $OCHF_2$ , halogen, CN or  $NO_2$ ;
- $R^6$  is hydrogen,  $(C_1-C_8)$ alkyl,  $(C_1-C_8)$ haloalkyl,  
halogen,  $OR^{10}$ ,  $S(O)_nR^{10}$ ,  $COR^{10}$ ,  $C(O)SR^{10}$ ,  
 $C(O)NR^{11}R^{12}$ ,  $CHO$ ,  $CH=CHCO_2R^{10}$ ,  $CO_2N=CR^{13}R^{14}$ ,  $NO_2$ ,  
CN,  $NHSO_2R^{15}$  or  $NHSO_2NHR^{15}$ ;
- $R^7$  and  $R^8$  are independently hydrogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or halogen; when Q  
is Q-2 or Q-6,  $R^7$  and  $R^8$  together with the carbon  
to which they are attached may be  $C=O$ ;
- $R^9$  is  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ haloalkyl,  
 $(C_2-C_6)$ alkoxyalkyl,  $(C_3-C_6)$ alkenyl or  
 $(C_3-C_6)$ alkynyl;
- $R^{10}$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  
 $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  $(C_1-C_8)$ haloalkyl,  
 $(C_2-C_8)$ alkoxyalkyl,  $(C_2-C_6)$ alkylthioalkyl,  
 $(C_2-C_8)$ alkylsulfinylalkyl,  
 $(C_2-C_8)$ alkylsulfonylalkyl,

...

(C<sub>3</sub>-C<sub>8</sub>)alkoxyalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)cycloalkylalkyl,  
 (C<sub>2</sub>-C<sub>4</sub>)carboxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenylthioalkyl, (C<sub>4</sub>-C<sub>8</sub>)alkynylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)trialkylsilylalkyl, (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)halocycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkenyl, (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkenyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkynyl, (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 CHR<sup>16</sup>COR<sup>17</sup>, CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub>, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>, CHR<sup>16</sup>C(O)NH<sub>2</sub>,  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl substituted with phenoxy or benzyloxy  
 optionally substituted with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl  
 or (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; benzyl optionally substituted  
 with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; or  
 phenyl and pyridyl optionally substituted with  
 halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

R<sup>11</sup> and R<sup>13</sup> are independently hydrogen or  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl;

R<sup>12</sup> and R<sup>14</sup> are independently (C<sub>1</sub>-C<sub>4</sub>)alkyl, or  
 phenyl optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-,  
 -(CH<sub>2</sub>)<sub>4</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, in which optionally  
 one or more H-atoms may be replaced by  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, phenyl or benzyl;

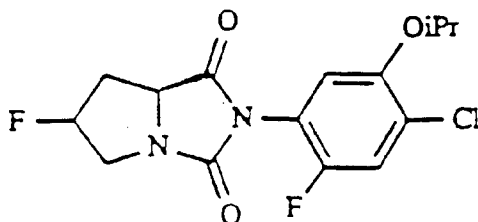
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$R^{13}$  and  $R^{14}$  may be taken together with the carbon  
 to which they are attached to form  
 $(C_3-C_6)$ cycloalkyl;  
 $R^{15}$  is  $(C_1-C_4)$ alkyl or  $(C_1-C_4)$ haloalkyl;  
 $R^{16}$  is hydrogen or  $(C_1-C_3)$ alkyl;  
 $R^{17}$  is  $(C_1-C_6)$ alkyl;  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl;  
 $W$  is O or S;  
 $n$  is 0, 1, or 2.

In this method is preferred the plantation crop  
 selected from the group consisting of citrus,  
 sugarcane, coffee, banana, oil palm, grapes and  
 rubber. Further is preferred employing at least one of  
 the compounds of the group consisting of 4-[4'-chloro-2'-  
 fluoro-5'-(1-methylethoxy)phenyl]-3,5-dioxo-7-  
 fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-  
 fluoro-5'-(1-methyl-prop-2-ynyloxy)phenyl]-3,5-dioxo-  
 7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-  
 fluoro-5'-(prop-2-ynyloxy)phenyl]-3,5-dioxo-7-  
 fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-  
 fluoro-5'-(1-methyl-ethoxy)phenyl]-3,5-dioxo-7,7-  
 difluoro-1,4-diazabicyclo[3.3.0]octane, 6-fluoro-  
 2-(7-fluoro-3-oxo-4-prop-2-ynyl-3,4-dihydro-2H-  
 benzo[1,4]oxazin-6-yl)-tetrahydro-pyrrolo[1,2-  
 climidazole-1,3-dione, 6,6-difluoro-2-(7-fluoro-3-oxo-  
 4-prop-2-ynyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-  
 tetrahydropyrrolo[1,2-climidazole-1,3-dione (JUPAC),  
 4-[2-chloro-4-fluoro-5-(6-fluoro-1,3-dioxo-  
 tetrahydropyrrolo[1,2-climidazol-2-yl)phenoxy]but-  
 2-enoic acid methyl ester (JUPAC) and stereoisomers  
 thereof. Preferred is also a method in which the crop  
 is peanut and the compound is applied preemergence.

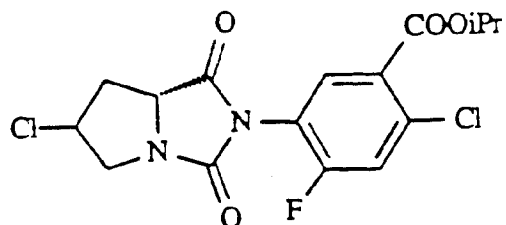


## Chemical examples

Example 1:

A mixture of 2(R)-Carbomethoxy-4-fluoropyrrolidine (1,47 g, 0,01 mol), triethylamine (50,0 mg, 0,5 mmol) and toluene (30 ml) is prepared, and 4-chloro-2-fluoro-5-isopropoxyphenyl isocyanate (2,29 g, 0,01 mol) dissolved in toluene (20 ml) is added dropwise. The reaction mixture is stirred for 5 h at reflux, then washed with 10 % aqueous hydrochloric acid (3 x 10 ml) and water (3 x 10 ml), dried over sodium sulfate, and filtered. After concentration of the filtrate by evaporation, the resulting residue is purified by silica gel chromatography.

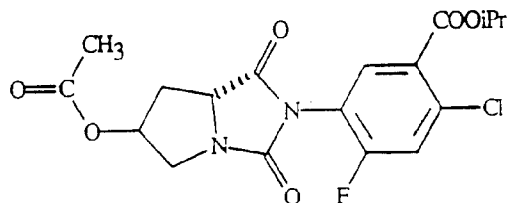
2R-4-(4'-Chloro-2'-fluoro-5'-isopropoxyphenyl)-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane is obtained in the amount of 2.58 g (75 % theoretical) as colourless crystals (m.p. 103 - 105°C).

Example 2:

2R-4-(4'-chloro-2'-fluoro-5'-carboisopropoxy)-3,5-dioxo-7-hydroxy-1,4-diazabicyclo[3.3.0]octane 3.71 g (0.01 mol) is dissolved in toluene (30 ml) and cooled to 0 - 5°C, before thionyl chloride (1.44 g, 12.0 mmol) in toluene (10 ml) is added dropwise. The reaction mixture is refluxed for 15 h. The solvent and the excess of thionyl chloride is evaporated and the residue is purified by silica gel chromatography.

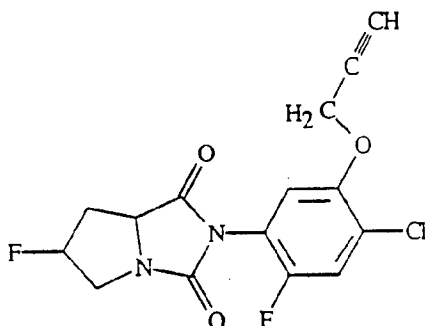
2R-4-(4'-chloro-2'-fluoro-5'-carboisopropoxy)-7-chloro-3,5-dioxo-1,4-diazabicyclo[3.3.0] octane is obtained in the amount of 3.19 g (82 % of theoretical) as a colorless glass.

...

Example 3:

A mixture of 2R-4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)-3,5-dioxo-7-hydroxy-1,4-diazabicyclo[3.3.0]octane (3.71 g, 0.01 mol), triethylamine (1.41 g, 14.0 mmol) and acetic acid anhydride (1.24 g, 12.0 mmol) are added together in methylene chloride (30 ml) and toluene (60 ml). The reaction mixture is refluxed for 13 h, cooled to room temperature and the organic layer is washed with water (3 x 15 ml). The collected organic layers are dried over sodium sulfate, and filtered. After concentration of the filtrate by evaporation, the resulting residue is purified by silica gel chromatography.

2R-4-(4'-Chloro-2'-fluoro-5'-isopropoxyphenyl)-3,5-dioxo-7-methylcarbonyloxy-1,4-diazabicyclo[3.3.0]octane is obtained in the amount of 2.84 g (69 % of theoretical) as a colourless glass.

Example 4:

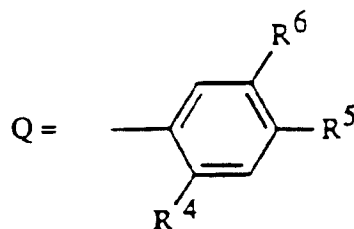
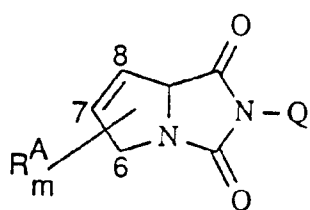
A mixture of 4-(4'-Chloro-2'-fluoro-5'-hydroxyphenyl)-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane (3.03 g, 0.01 mol), potassium carbonate (6.95 g, 0.05 mol), propargyl bromide (1.78 g, 12.0 mmol) and acetonitrile (60 ml) is stirred for 20 h at 20°C. The reaction mixture is acidified to pH = 2 with 5 % aqueous hydrochloric acid, followed by extraction with ether (3 x 15 ml). The ether layer is dried over sodium sulfate, and filtered. After evaporation of the solvent, the residue is purified by silica gel chromatography.

4-(4'-Chloro-2'-fluoro-5'-propargyloxyphenyl)-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0] octane is obtained in the amount of 3.16 g (93 % of theoretical) in two fractions as two diastereomers (or diastereomeric mixtures).

1. Fraction: m.p. 136 - 139°C  $[\alpha]_D^{20} = +45,1^\circ\text{C}$
2. Fraction: m.p. 143 - 145°C  $[\alpha]_D^{20} = -35,2^\circ\text{C}$

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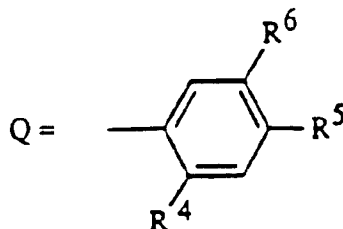
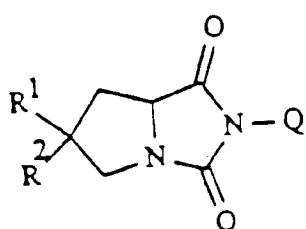
Analogously to Example 1 to 4 and in accordance with the general description of the methods A to E in accordance with the invention, the compounds of general formula I listed in the following tables can be prepared:

Table 1:

$R^A$	$R^4$	$R^5$	$R^6$	melting point °C
H	7-F	Cl	H	
H	8-F	Cl	H	
H	7-Cl	Cl	$\text{CO}_2\text{CH}(\text{CH}_3)_2$	
H	8-Cl	Cl	$\text{OCH}(\text{CH}_3)_2$	
H	6-F	Cl	$\text{OCH}_2\text{C}\equiv\text{CH}$	
H	7-Br	Cl	$\text{OCH}(\text{CH}_3)\text{C}\equiv\text{CH}$	

...

Table 2:



R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
F	H	H	Cl	H	
F	H	H	Br	H	
F	H	H	CH <sub>3</sub>	H	
F	H	F	Cl	H	
F	H	Cl	Cl	H	
F	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	91-93 (R/S-Mixture at Pos. 2 and 7)
F	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	103-105 (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+48,8 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
F	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	glass (2R, 7R-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+38,3 (c=1 in CH <sub>3</sub> OH))
F	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	glass
F	H	F	Cl	OCH <sub>2</sub> C≡CH	143-145 (2S, 7R/S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =-35,2 (c=0,5 in CH <sub>3</sub> OH))


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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
F	H	F	Cl	OCH <sub>2</sub> C≡CH	136-139 (2R, 7R/S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+45,1 (c=0,5 in CH <sub>2</sub> OH))
F	H	F	Cl	OCH <sub>2</sub> C≡CH	glass (2R/S, 7R/S-Konfi- guration)
F	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	133-139 (2S, 7R/S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =-29,9 (c=0,5 in CH <sub>3</sub> OH))
F	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	121-124 (2R, 7R/S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+41,5 (c=0,5 in CH <sub>3</sub> OH))
F	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	glass (2R/S, 7R/S-Konfi- guration)
F	H	F	Cl	OCH <sub>3</sub>	
F	H	F	Cl	OCH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	
F	H	F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>	
F	H	F	Cl	OCH <sub>2</sub> CH=CHCO <sub>2</sub> CH <sub>3</sub>	glass
F	H	F	Cl	OCH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	
F	H	F	Cl	OCH <sub>2</sub> CO <sub>2</sub> CH <sub>2</sub> C≡CH	
F	H	F	Cl	OCH <sub>2</sub> CO <sub>2</sub> C <sub>5</sub> H <sub>11</sub>	
F	H	F	Cl	CN	
F	H	F	Cl	SCH <sub>3</sub>	
F	H	F	Cl	SCH(CH <sub>3</sub> ) <sub>2</sub>	
F	H	F	Cl	SCH <sub>2</sub> CH=CH <sub>2</sub>	
F	H	F	Cl	SCH <sub>2</sub> C≡CH	

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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
F	H	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> H	
F	H	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	
F	H	F	Cl	OCH <sub>2</sub> CON $\begin{matrix} \text{CH}_3 \\ \text{OCH}_3 \end{matrix}$	
F	H	F	Cl	OC(CH <sub>3</sub> )=N-OCH <sub>3</sub>	
F	H	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> CH <sub>2</sub> C≡CH	
F	H	F	Cl	OCHF <sub>2</sub>	
F	H	F	Cl	OCH <sub>2</sub> C(Cl)=CH <sub>2</sub>	
F	H	F	Cl	OCF <sub>2</sub> CHFC1	
F	H	F	Cl	NHSO <sub>2</sub> CH <sub>3</sub>	
F	H	F	Cl	NHSO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
F	H	F	Cl	NHSO <sub>2</sub> NHCH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7R/S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+32,4 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
F	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CF <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R/S, 7R/S-Konfi- guration)
F	H	F	Cl	CO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>2</sub> CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CF <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> - N  O	


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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>2</sub> SCH <sub>3</sub>	
Cl	H	F	Cl	H	
Cl	H	F	Cl	OCHF <sub>2</sub>	
Cl	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+41,7 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
Cl	H	F	Cl	OCF <sub>2</sub> CHFC1	
Cl	H	F	Cl	OCH <sub>2</sub> C≡CH	oil (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =-35,8 (c=0,5 in CH <sub>3</sub> OH))
Cl	H	F	Cl	OCH <sub>2</sub> C≡CH	glass (2R, 7S-Konfi- guration)
Cl	H	F	Cl	OCH <sub>2</sub> C≡CH	glass (2R/S, 7S-Konfi- guration)
Cl	H	F	Cl	OCH <sub>2</sub> P(O)(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	
Cl	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	oil (2R, 7S-Konfi- guration)
Cl	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	130-145 (2S, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =-27,3 in CH <sub>3</sub> OH))
Cl	H	F	Cl	OCH <sub>2</sub> C(O)N(CH <sub>3</sub> ) <sub>2</sub>	
Cl	H	F	Cl	O(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>2</sub> CH <sub>3</sub>	
Cl	H	F	Cl	OCH <sub>2</sub> CH=N-OCH <sub>2</sub> CH=CH <sub>2</sub>	
Cl	H	F	Cl	SCH <sub>2</sub> C≡CH	
Cl	H	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> H	

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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
Cl	H	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> CH <sub>2</sub> C≡CH	
Cl	H	F	Cl	NHSO <sub>2</sub> CH <sub>3</sub>	
Cl	H	F	Cl	NHSO <sub>2</sub> CF <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+36,6 (c=0,5 in CH <sub>2</sub> Cl))
Cl	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>2</sub> SCH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CF <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	
Cl	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )C≡CH	
Cl	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CF <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> - N 	
Cl	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	oil (2R, 7S-Konfi- guration)
Cl	H	F	Cl	CH=CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+29,5 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	Cl	OCH <sub>2</sub> C≡CH	
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	
OC(O)CH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7S-Konfi- guration)

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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
OC(O)CH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7R-Konfi- guration)
OC(O)CH <sub>3</sub>	H	F	Cl	OCH <sub>2</sub> C≡CH	
OCO <sub>2</sub> CH <sub>3</sub>	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7S-Konfi- guration)
OCO <sub>2</sub> CH <sub>3</sub>	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7R-Konfi- guration)
OSO <sub>2</sub> CH <sub>3</sub>	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	140-141 (2R, 7R-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+56,3 in CH <sub>2</sub> Cl <sub>2</sub> )
OCH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7R-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+55,1 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
OCH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	
OCH <sub>3</sub>	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	
OCH <sub>3</sub>	H	F	Cl	OCH <sub>2</sub> C≡CH	
OH	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	63-65 (2R, 7R/S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+47,1 (c=0,5 in CH <sub>3</sub> OH))
OH	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	45-48 (2S/7R/S-Konfi- guration)
OH	H	H	Cl	H	161,5-163
OH	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	110-112 (2R/7R-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+39,2 (c=0,5 in CH <sub>3</sub> OH))
OCH <sub>3</sub>	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	...

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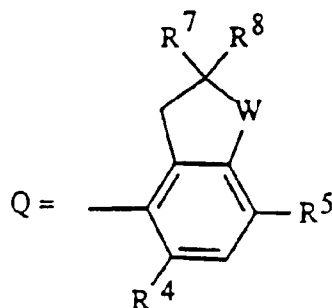
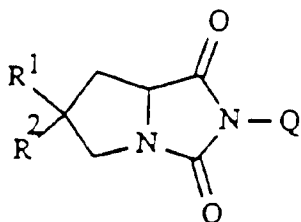
R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
OCH <sub>2</sub> C≡CH	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
CH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
CO <sub>2</sub> H	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	
CO <sub>2</sub> H	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
Br	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
Br	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>2</sub> CH <sub>3</sub>	
Br	H	F	Cl	OCHF <sub>2</sub>	
Br	H	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	oil (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+26,5 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> )
Br	H	F	Cl	OCF <sub>2</sub> CHF <sub>2</sub>	
Br	H	F	Cl	OCH <sub>2</sub> C≡CH	
Br	H	F	Cl	OCH(CH <sub>3</sub> )C≡CH	
Br	H	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> H	
Br	H	F	Cl	NHSO <sub>2</sub> CH <sub>3</sub>	
Br	H	F	Cl	NHSO <sub>2</sub> CF <sub>3</sub>	
F	F	F	Cl	OCH(CH <sub>3</sub> ) <sub>2</sub>	99-101 (2R-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =-34,2 (c=0,5 in CHCl <sub>3</sub> ))
F	F	F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>	glass
F	F	F	Cl	OCF <sub>2</sub> CH=CH <sub>2</sub>	glass
F	F	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
F	F	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	

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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
F	F	F	Cl	OCH <sub>2</sub> C≡CH	
F	F	F	Cl	OCH(CH <sub>3</sub> )C≡CH	
F	F	Cl	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	
F	F	Cl	Cl	OCH <sub>2</sub> C≡CH	
F	F	Cl	Cl	OCH(CH <sub>3</sub> )C≡CH	

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Table 3:

R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>8</sup>	w	melting point °C
F	H	F	Cl	H	CH <sub>2</sub>	0	glass (2R/S, 7S-Konfi- guration)
F	H	F	Cl	H	CH <sub>3</sub>	0	glass (2S, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =+19.5 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
F	H	F	Cl	H	CH <sub>3</sub>	0	glass (2R, 7S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> =-16,6 (c=0,5 in CH <sub>2</sub> Cl <sub>2</sub> ))
F	H	F	Cl	H	H	0	
F	H	F	Cl	CH <sub>3</sub>	CH <sub>3</sub>	0	
F	H	F	Cl	CH <sub>3</sub>	CH <sub>2</sub> F	0	
F	H	F	Cl	H	CH <sub>2</sub> CH <sub>3</sub>	0	
F	H	F	Cl	H	CH <sub>2</sub> F	0	
F	H	F	Cl	H	CH <sub>2</sub> Cl	0	
F	H	F	Cl	H	CH <sub>2</sub> Br	0	
F	H	F	Br	H	CH <sub>3</sub>	0	

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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>8</sup>	w	melting point °C
F	H	F	CH <sub>3</sub>	H	CH <sub>3</sub>	0	
F	H	F	OCH <sub>3</sub>	H	CH <sub>3</sub>	0	
F	H	F	CN	H	CH <sub>3</sub>	0	
F	H	F	CF <sub>3</sub>	H	CH <sub>3</sub>	0	
F	F	F	Cl	H	CH <sub>3</sub>	0	151-154
F	F	Cl	Cl	H	CH <sub>3</sub>	0	
F	H	F	OCF <sub>2</sub> H	H	CH <sub>3</sub>	0	
F	H	Cl	Cl	H	CH <sub>3</sub>	0	
Cl	H	F	Cl	H	CH <sub>3</sub>	0	
Cl	H	Cl	Cl	H	CH <sub>3</sub>	0	
Cl	H	F	Cl	CH <sub>3</sub>	CH <sub>3</sub>	0	
Cl	H	F	Cl	CH <sub>3</sub>	CH <sub>2</sub> F	0	
Cl	H	F	Cl	H	CH <sub>2</sub> F	0	
Cl	H	F	Cl	H	CH <sub>2</sub> Cl	0	
Cl	H	F	Cl	H	CH <sub>2</sub> Br	0	
Cl	H	F	Cl	H	CH(CH <sub>3</sub> ) <sub>2</sub>	0	
Cl	H	F	Cl	H	CH <sub>2</sub> CH <sub>2</sub> Cl	0	
Cl	H	F	Cl	H	CH <sub>2</sub> CH <sub>3</sub>	0	
Cl	H	F	Cl	H	CH <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> F	0	
Cl	H	F	Br	H	CH <sub>3</sub>	0	

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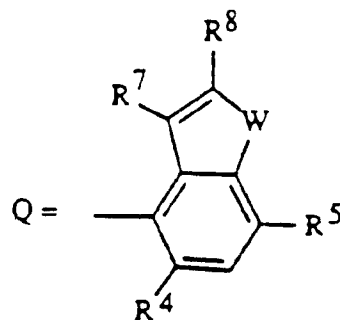
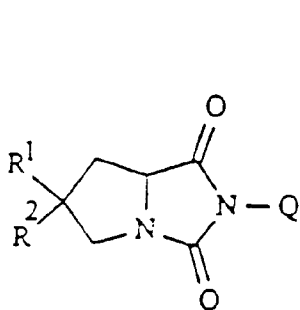
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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>8</sup>	w	melting point °C
Cl	H	F	CH <sub>3</sub>	H	CH <sub>3</sub>	0	
Cl	H	F	OCH <sub>3</sub>	H	CH <sub>3</sub>	0	
Cl	H	F	CN	H	CH <sub>3</sub>	0	
Cl	H	F	CF <sub>3</sub>	H	CH <sub>3</sub>	0	
Cl	H	F	OCF <sub>2</sub> H	H	CH <sub>3</sub>	0	
OCH <sub>3</sub>	H	F	Cl	H	CH <sub>3</sub>	0	
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	Cl	H	CH <sub>3</sub>	0	
CH <sub>3</sub>	H	F	Cl	H	CH <sub>3</sub>	0	
CO <sub>2</sub> H	H	F	Cl	H	CH <sub>3</sub>	0	
Br	H	F	Cl	H	CH <sub>3</sub>	0	
Br	H	F	Cl	H	CH <sub>2</sub> F	0	
Br	H	F	Cl	H	CH <sub>2</sub> Br	0	
Br	H	F	Cl	CH <sub>3</sub>	CH <sub>3</sub>	0	
Br	H	F	Cl	CH <sub>3</sub>	CH <sub>2</sub> F	0	
Br	H	Cl	Cl	H	CH <sub>3</sub>	0	

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Table 4:



R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>8</sup>	w	melting point °C
F	H	F	Cl	H	Cl	S	
F	H	F	Cl	H	CH <sub>3</sub>	S	
F	H	F	Cl	H	CH <sub>2</sub> CH <sub>3</sub>	S	
F	H	H	SCH <sub>3</sub>	H	H	S	
F	H	F	Cl	H	Cl	O	
F	H	F	Cl	H	CH <sub>3</sub>	O	
Cl	H	F	Cl	H	Cl	S	
Cl	H	F	Cl	H	CH <sub>3</sub>	S	
Cl	H	F	Cl	H	CH <sub>2</sub> CH <sub>3</sub>	S	
Cl	H	H	SCH <sub>3</sub>	H	H	S	
Cl	H	F	Cl	H	Cl	O	
Cl	H	F	Cl	H	CH <sub>3</sub>	O	
OCH <sub>3</sub>	H	F	Cl	H	CH <sub>3</sub>	S	
OCH <sub>3</sub>	H	F	Cl	H	Cl	S	
Br	H	F	Cl	H	CH <sub>3</sub>	S	

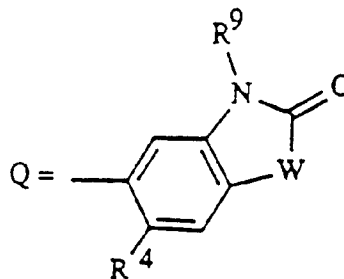
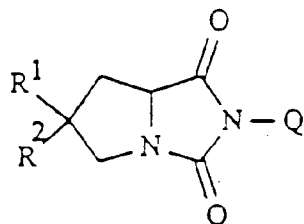
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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>7</sup>	R <sup>8</sup>	w	melting point °C
F	F	F	Cl	H	Cl	S	
Br	H	F	Cl	H	Cl	S	
Br	H	F	Cl	H	CH <sub>3</sub>	O	
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	Cl	H	CH <sub>3</sub>	S	

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Table 5:



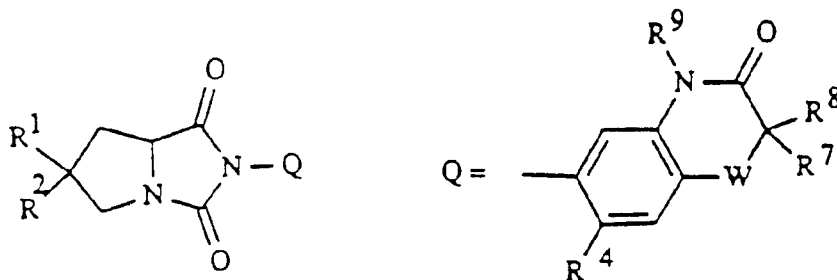
R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>9</sup>	w	melting point °C
H	H	F	CH <sub>2</sub> C≡CH	0	
F	H	F	H	S	
F	H	F	CH <sub>3</sub>	S	
F	H	F	CH <sub>2</sub> CH <sub>3</sub>	S	
F	H	F	CH <sub>2</sub> C≡CH	S	
F	H	F	CH <sub>2</sub> CH=CH <sub>2</sub>	S	
F	H	F	CH <sub>2</sub> OCH <sub>2</sub>	S	
F	H	F	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	S	
F	H	F	CH(CH <sub>3</sub> )C≡CH	S	
F	H	F	CH(CH <sub>3</sub> ) <sub>2</sub>	S	
F	H	F	CF <sub>2</sub> CHF <sub>2</sub>	S	
F	F	F	CH <sub>2</sub> C≡CH	S	
F	F	F	CH <sub>2</sub> CH=CH <sub>2</sub>	S	
F	F	F	CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	S	

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$R^1$	$R^2$	$R^4$	$R^9$	w	melting point °C
F	H	Cl	$CH_2C\equiv CH$	S	
F	H	F	$CH_2C\equiv CH$	O	
Cl	H	F	H	S	
Cl	H	F	$CH_3$	S	
Cl	H	F	$CH_2CH_3$	S	
Cl	H	F	$CH(CH_3)_2$	S	
Cl	H	F	$CH_2CH_2CH_3$	S	
Cl	H	F	$CH_2C\equiv CH$	S	
Cl	H	F	$CH(CH_3)C\equiv CH$	S	
Cl	H	F	$CH_2C\equiv CH$	S	
Cl	H	F	$CF_2CHF_2$	S	
Cl	H	F	$CH_2CH=CHCH_3$	S	
Cl	H	Cl	$CH_2C\equiv CH$	S	
$OCH_3$	H	F	$CH_2C\equiv CH$	S	
$OCH_3$	H	F	$CH_3$	S	
Br	H	F	$CH_2C\equiv CH$	S	
Br	H	F	$CH_3$	S	
Br	H	F	$CH(CH_3)C\equiv CH$	S	
Br	H	F	$CH_2CH_3$	S	
Br	H	F	$CH_2CH_2CH_3$	S	
Br	H	Cl	$CH_2C\equiv CH$	S	
Br	H	F	$CH_2C\equiv CH$	O	

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Table 6:

R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>7</sup>	R <sup>8</sup>	R <sup>9</sup>	w	melting point °C
F	H	F	H	H	CH <sub>3</sub>	0	
F	H	F	H	H	CH <sub>2</sub> CH <sub>3</sub>	0	
F	H	F	H	H	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	0	
F	H	F	H	H	CH(CH <sub>3</sub> ) <sub>2</sub>	0	
F	H	F	H	H	CH <sub>2</sub> C≡CH	0	189-191 (2R, 7S- Konfiguration)
F	H	F	H	H	CH <sub>2</sub> C=CH <sub>2</sub>	0	
F	H	F	H	H	CH(CH <sub>3</sub> )C≡CH	0	
F	H	F	CH <sub>3</sub>	H	CH <sub>2</sub> C≡CH	0	
F	H	Cl	H	H	CH <sub>2</sub> C≡CH	0	
F	H	F	H	H	CH <sub>2</sub> C≡CH	S	
OH	H	F	H	H	CH <sub>2</sub> C≡CH	0	207-209
F	H	F	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	0	
Cl	H	F	H	H	H	0	
Cl	H	F	H	H	CH <sub>3</sub>	0	

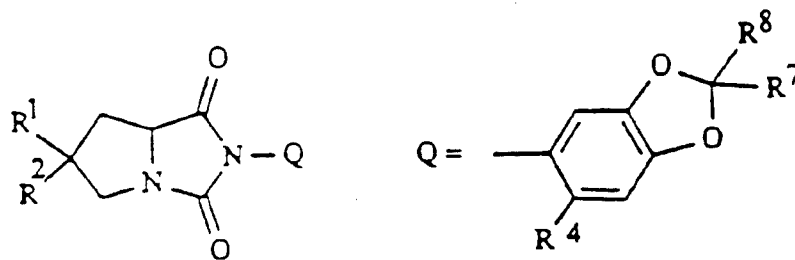
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R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>7</sup>	R <sup>8</sup>	R <sup>9</sup>	w	melting point °C
Cl	H	F	H	H	CH <sub>2</sub> CH <sub>3</sub>	0	
Cl	H	F	H	H	CH <sub>2</sub> C≡CH	0	
Cl	H	F	H	H	CH(CH <sub>3</sub> ) <sub>2</sub>	0	
Cl	H	F	H	H	CH(CH <sub>3</sub> )C≡CH	0	
Cl	H	F	H	H	CH <sub>2</sub> CH=CH <sub>2</sub>	0	
Cl	H	F	CH <sub>3</sub>	H	CH <sub>2</sub> CH≡CH	0	
Cl	H	F	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	0	
Cl	H	Cl	H	H	CH <sub>2</sub> C≡CH	0	
Cl	H	F	H	H	CH <sub>2</sub> C≡CH	S	
OCH <sub>3</sub>	H	F	H	H	CH <sub>2</sub> C≡CH	0	
Br	H	F	H	H	CH <sub>3</sub>	0	
Br	H	F	H	H	CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	0	
Br	H	F	CH <sub>3</sub>	H	CH <sub>2</sub> C≡CH	0	
Br	H	F	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub> C≡CH	0	
Br	H	Cl	H	H	CH <sub>2</sub> C≡CH	0	
Br	H	Cl	H	H	CH <sub>2</sub> C≡CH	S	
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	H	H	CH <sub>2</sub> C≡CH	0	
OSi(CH <sub>3</sub> ) <sub>3</sub>	H	F	H	H	CH <sub>2</sub> C≡CH	S	
F	F	F	H	H	CH <sub>2</sub> C≡CH	0	glass (2R- Konfigura- tion)
F	F	F	H	H	CH <sub>2</sub> CH=CH <sub>2</sub>	0	
F	F	F	H	H	CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	0	

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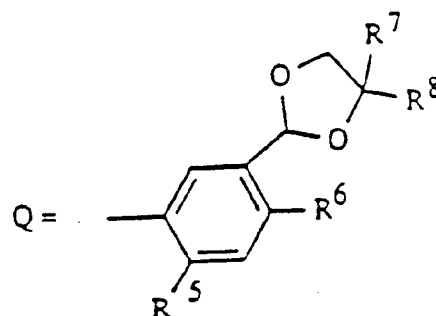
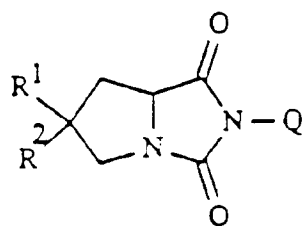
Table 7:

R <sup>1</sup>	R <sup>2</sup>	R <sup>4</sup>	R <sup>7</sup>	R <sup>8</sup>	melting point °C
F	H	H	F	F	
F	H	F	F	F	
F	H	F	H	H	
Cl	H	H	F	F	
Cl	H	F	F	F	
Cl	H	F	H	H	
OCH <sub>3</sub>	H	H	F	F	
OCH <sub>3</sub>	H	F	F	F	
OCH <sub>3</sub>	H	F	H	H	
Br	H	H	F	F	
Br	H	F	F	F	
Br	H	F	H	H	
F	F	F	H	H	
F	F	F	F	F	
F	F	H	F	F	

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Table 8:



R <sup>1</sup>	R <sup>2</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	R <sup>8</sup>	melting point °C
F	H	H	H	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	H	H	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	H	H	CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	
F	H	H	H	CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	
F	H	H	H	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	H	H	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	H	
Cl	H	H	H	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	H	H	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	H	
F	H	H	H	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
F	H	H	Cl	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	H	Cl	CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	
F	H	H	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	H	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	H	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	

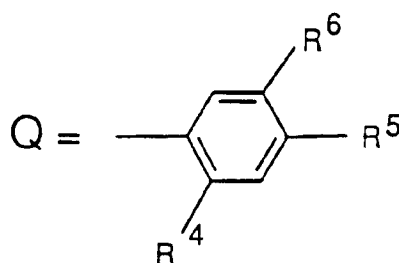
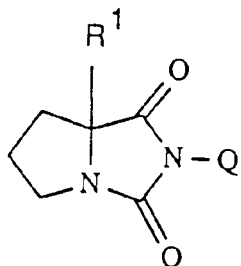
R <sup>1</sup>	R <sup>2</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	R <sup>8</sup>	melting point °C
F	H	F	Cl	CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	
F	F	F	Cl	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	F	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	F	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	F	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	F	Cl	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	H	
F	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )C≡CH	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CHCH=CH <sub>2</sub>	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
F	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	
Br	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Br	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Br	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub>	H	
Br	H	F	Cl	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	

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R <sup>1</sup>	R <sup>2</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	R <sup>8</sup>	melting point °C
OCH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Br	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	
OCH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> CH <sub>3</sub>	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
Br	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )C≡CH	CH <sub>3</sub>	
Cl	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	CH <sub>3</sub>	
Cl	H	H	H	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
Cl	H	H	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
Br	H	H	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	
Br	H	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> )C≡CH	CH <sub>3</sub>	
OCH <sub>3</sub>	H	F	Cl	CO <sub>2</sub> CH <sub>2</sub> C≡CH	CH <sub>3</sub>	

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Table 9:



R <sup>1</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	melting point °C
CH <sub>3</sub>	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	95-99 [2R-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> = -14,3 (c=0,5 in CH <sub>3</sub> OH)]
CH <sub>3</sub>	F	Cl	CO <sub>2</sub> CH(CH <sub>3</sub> ) <sub>2</sub>	97-99 [2S-Konfi- guration, [α] <sub>D</sub> <sup>20</sup> = +13,8 (c=0,5 in CH <sub>3</sub> OH)]
CH <sub>3</sub>	F	Cl	OCH <sub>2</sub> C≡CH	
CH <sub>3</sub>	F	Cl	OCH(CH <sub>3</sub> )C≡CH	
CH <sub>3</sub>	F	Cl	SCH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>	
CH <sub>3</sub>	F	Cl	OCH <sub>2</sub> CH=CH <sub>2</sub>	

Formulations

Compounds of this invention will generally be used in formulation with an agriculturally suitable carrier comprising a liquid or solid diluent or an organic solvent. Use formulations include dusts, granules, baits, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like, consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up 100 weight percent.

	<u>Weight Percent</u>		
	<u>Active</u> <u>Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Wettable Powders	25-90	0-74	1-10
Oil Suspensions, Emulsions, Solutions, (including Emulsifi- able Concentrates)	5-50	40-95	0-15

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	<u>Active</u>		
	<u>Ingredient</u>	<u>Diluent</u>	<u>Surfactant</u>
Dusts	1-25	70-99	0-5
Granules, Baits and Pellets	0.01-99	5-99.99	0-15
High Strength Compositions	90-99	0-10	0-2

Typical solid diluents are described in Watkins, et al., "Handbook of Insecticide Dust Diluents and Carriers", 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, "Solvents Guide", 2nd Ed., Interscience, New York, 1950. "McCutcheon's Detergents and Emulsifiers Annual", Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, "Encyclopedia of Surface Active Agents", Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, etc.

Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating a fine powder composition; see for example, Cross et al., "Pesticide Formulations", Washington, D.C., 1988, pp 251 - 259. Suspensions are prepared by wet-milling; see, for

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example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", Chemical Engineering, December 4, 1967, pp 147 - 148, "Perry's Chemical Engineer's Handbook", 4th Ed., McGraw-Hill, New York, 1963, pages 8 - 57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can also be prepared as taught in DE 32 46 493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10 - 41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, "Weed Control as a Science", John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., "Weed Control Handbook", 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are worked up in conventional ways.

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Example A:Wettable Powder

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	80%
sodium alkylnaphthalenesulfonate	2%
sodium ligninsulfonate	2%
synthetic amorphous silica	3%
kaolinite	13%

The ingredients are blended, hammer-milled until all the solids are essentially under 50 microns, reblended and packaged.

Example B:Wettable Powder

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	50%
sodium alkylnaphthalenesulfonate	2%
low viscosity methyl cellulose	2%
diatomaceous earth	46%

The ingredients are blended, coarsely hammer-milled and then air-milled to produce particles essentially all below 10 microns in diameter. The product is reblended before packaging.

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Example C:Granule

Wettable Powder of Example B	5%
attapulgate granules (U.S.S. 20-40 mesh; 0.84-0.42 mm)	95%

A slurry of wettable powder containing 25 % solids is sprayed on the surface of attapulgate granules in a double-cone blender. The granules are dried and packaged.

Example D:Extruded Pellet

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	25%
anhydrous sodium sulfate	10%
crude calcium ligninsulfonate	5%
sodium alkylnaphthalenesulfonate	1%
calcium/magnesium bentonite	59%

The ingredients are blended, hammer-milled and then moistened with about 12% water. The mixture is extruded as cylinders about 3 mm diameter which are cut to produce pellets about 3 mm long. These may be used directly after drying, or the dried pellets may be crushed to pass a U.S.S. No. 20 sieve (0.84 mm openings). The granules held on a U.S.S. No. 40 sieve (0.42 mm openings) may be packaged for use and the fines recycled.

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Example E:Low Strength Granule

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	1%
N,N-dimethylformamide	9%
attapulgate granules (U.S.S. 20 to 40 sieve)	90%

The active ingredient is dissolved in the solvent and the solution is sprayed upon dedusted granules in a double-cone blender. After spraying of the solution has been completed, the blender is allowed to run for a short period and then the granules are packaged.

Example F:Granule

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	80%
wetting agent	1%
crude lingninsulfonate salt (containing 5-20% of the natural sugars)	10%
attapulgate clay	9%

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The ingredients are blended and milled to pass through a 0.15 mm (100 mesh) screen. This material is then added to a fluid bed granulator, the air flow is adjusted to gently fluidize the material, and a fine spray of water is sprayed onto the fluidized material. The fluidization and spraying are continued until granules of the desired size range are made. The spraying is stopped, but fluidization is continued, optionally with heat, until the water content is reduced to the desired level, generally less than 1%. The material is then discharged, screened to the desired size range, generally 1.4 mm - 0.15 mm (14-100 mesh), and packaged for use.

Example G:

Aqueous Suspension

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)-  
7-chloro-3,5-dioxo-1,4-diazabicyclo-

[3.3.0]octane	40%
polyacrylic acid thickener	0.3%
dodecylphenol polyethylene glycol ether	0.5%
disodium phosphate	1%
monosodium phosphate	0.5%
polyvinyl alcohol	1.0%
water	56.7%

The ingredients are blended and ground together in a sand mill to produce particles essentially all under 5 microns in size.

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Example H:High Strength Concentrate

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	99%
silica aerogel	0.5%
synthetic amorphous silica	0.5%

The ingredients are blended and ground in a hammer-mill to produce a material essentially all passing a U.S.S. No. 50 screen (0.3 mm opening). The concentrate may be formulated further if necessary.

Example I:Wettable Powder

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	90%
dioctyl sodium sulfosuccinate	0.1%
synthetic fine silica	9.9%

The ingredients are blended and ground in a hammer-mill to produce particles essentially all below 100 microns. The material is sifted through a U.S.S. No. 50 screen (0.3 mm) and then packaged.

Example J:Wettable Powder

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	40%
sodium ligninsulfonate	20%
montmorillonite clay	40%

The ingredients are thoroughly blended, coarsely hammer-milled and then air-milled to produce particles essentially all below 10 microns in size. The material is reblended and then packaged.

Example K:Oil Suspension

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo- [3.3.0]octane	35%
blend of polyalcohol carboxylic esters and oil soluble petroleum sulfonates	6%
xylene	59%

The ingredients are combined and ground together in a sand mill to produce particles essentially all below 5 microns. The product can be used directly, extended with oils, or emulsified in water.

Example L:Dust

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo-	
[3.3.0]octane	10%
attapulgate	10%
pyrophyllite	80%

The active ingredient is blended with attapulgate and then passed through a hammer-mill to produce particles substantially all below 200 microns. The ground concentrate is then blended with powdered pyrophyllite until homogeneous.

Example M:Oil Suspension

4-(4'-chloro-2'-fluoro-5'-carboisopropoxyphenyl)- 7-chloro-3,5-dioxo-1,4-diazabicyclo-	
[3.3.0]octane	25%
polyoxyethylene sorbitol hexaoleate	5%
highly aliphatic hydrocarbon oil	70%

The ingredients are ground together in a sand mill until the solid particles have been reduced to under about 5 microns. The resulting thick suspension may be applied directly, but preferably after being extended with oils or emulsified in water.

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Utility

The compounds of the present invention are active herbicides. They have utility for broadspectrum preemergence and/or postemergence weed control in areas where complete control of all vegetation is desired, such as around industrial complexes, storage areas, parking lots, drive-in theaters, around billboards, fence rows, highway and railroad structures. Some of the compounds have utility for selective weed control in crops such as rice, wheat, barley, corn, soybeans, sugarbeets, cotton, peanut, all plantation crops including coffee, cocoa, sugarcane, oil palm, rubber, citrus, grapes, fruit trees, nut trees, banana, plantain, pineapple and conifers such as loblolly pine.

The compounds can be applied as a preemergence and/or postemergence treatment using techniques of banding, directed sprays or broadcast applications. The rates of application for the compounds of the invention are determined by a number of factors, including their use as selective or general herbicides, the crop species involved, the types of weeds to be controlled, weather, climate, formulations selected, mode of application, amount of foliage present, etc. By selecting the appropriate rate which would be apparent to one skilled in the art, the compounds of this invention can be used in areas where complete control of all vegetation is desired, such as around fuel storage tanks, ammunition depots, industrial storage areas, oil well sites, drive-in theaters, around billboards, highway and railroad structures and in

...

fence rows. Alternatively, by selecting the proper rates and adjuvants, the compounds of this invention can be used for selective weeds control in peanuts and plantation crops such as citrus, sugarcane, coffee, oil palm, rubber, cocoa, grapes, fruit trees, nut trees, pineapple and banana. In general, the subject compounds are applied at levels of around 0.001 to 20 kg/ha, with a preferred rate range of 0.01 to 2 kg/ha rate. One skilled in the art can select the proper rates for a given situation.

The compounds of this invention may be used in combination with other herbicides listed below. They are particularly useful in combination with triazine, triazole, uracil, urea, amide, carbamate, bipyridylum, phenoxy, sulfonylurea and imidazole types for total vegetation control in plantation and other crops. The compounds may also be used in combination with mefluidide, glyphosate or gluphosinate.

A mixture of one or more of the following herbicides with a compound of this invention may be particularly useful for weed control. Examples of other herbicides with which compounds of this invention can be formulated are:

aceto-chlor, acifluorfen, acrolein, 2-propenal, alachlor, ametryn, amidosulfuron, ammonium sulfamate, amitrole, anilofos, asulam, atrazine, barban, benefin, bensulfuron methyl, bensulide, bentazon, benzo-fluor, benzoylprop, bifenox, bromacil, bromoxynil, bromoxynil heptanoate, bromoxynil octanoate, butachlor, buthidazole, butralin, butylate, cacodylic acid,

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2-chloro-N,N-di-2-propenylacetamide, 2-chloroallyl diethyldithiocarbamate, chloramben, chlorbromuron, chloridazon, chlorimuron ethyl, chlormethoxynil, chlornitrofen, chloroxuron, chlorpropham, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodium, clomazone, cloproxydim, clopyralid, calcium salt of methylarsonic acid, cyanazine, cycloate, cyluron, cyperquat, cyprazine, cyprazole, cypromid, dalapon, dazomet, dimethyl 2,3,5,6-tetrachloro-1,4-benzenedicarboxylate, desmedipham, desmetryn, dicamba, dichlobenil, dichlorprop, diclofop, diethatyl, difenzoquat, diflufenican, dimepiperate, dinitramine, dinoseb, diphenamid, dipropetryn, diquat, diuron, 2-methyl-4,6-dinitrophenol, disodium salt of methylarsonic acid, dymron, endothall, S-ethyl dipropylcarbamothioate, esprocarb, ethalfluralin, ethametsulfuron methyl, ethofumesate, fenac, fenoxaprop, fenuron, salt of fenuron and trichloroacetic acid, flamprop, fluazifop, fluazifop-P, fluchloralin, flumesulam, flumipropyn, fluometuron, fluorochloridone, fluorodifen, fluoroglycofen, flupoxam, fluridone, fluoroxypr, fluzasulfuron, fomesafen, fosamine, glyphosate, haloxyfop, hexaflurate, hexazinone, imazamethabenz, imazapyr, imazaquin, imazamethabenz methyl, imazethapyr, imazosulfuron, ioxynil, isopropalin, isoproturon, isouron, isoxaben, karbutilate, lactofen, lenacil, linuron, metobenzuron, metsulfuron methyl, methylarsonic acid, monoammonium salt of methylarsonic acid, (4-chloro-2-methylphenoxy)acetic acid, S,S'-dimethyl-2-(difluoromethyl)-4-(2-methylpropyl)-6-(trifluoromethyl)-3,5-pyridinedicarbothioate,

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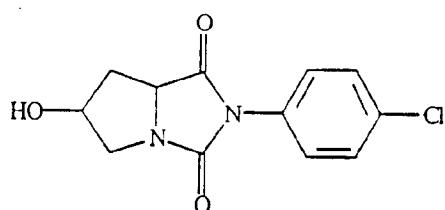
mecoprop, mefenacet, mefluidide, methalpropalin, methabenzthiazuron, metham, methazole, methoxuron, metolachlor, metribuzin, 1,2-dihydropyridazine-3,6-dione, molinate, monolinuron, monuron, monuron salt and trichloroacetic acid, monosodium salt of methylarsonic acid, napropamide, naptalam, neburon, nicosulfuron, nitralin, nitrofen, nitrofluorfen, norea, norflurazon, oryzalin, oxadiazon, oxyfluorfen, paraquat, pebulate, pendimethalin, perfluidone, phenmedipham, picloram, 5-[2-chloro-4-(trifluormethyl)phenoxy]-2-nitroacetophenone oxime-O-acetic acid methyl ester, pretilachlor, primisulfuron, procyazine, profluralin, prometon, prometryn, pronamide, propachlor, propanil, propazine, propham, prosulfalin, prynachlor, pyrazolate, pyrazon, pyrazosulfuron ethyl, quinchlorac, quizalofop ethyl, rimsulfuron secbumeton, sethoxydim, siduron, simazine, 1-(a,a-dimethylbenzyl)-3-(4-methylphenyl)urea, sulfometuron methyl, trichloroacetic acid, tebuthiuron, terbacil, terbutylchlor, terbutylazine, terbutol, terbutryn, thifensulfuron methyl, thiobencarb, tri-allate, trialloxydim, triasulfuron, tribenuron methyl, triclopyr, tridiphane, trifluralin, trimeturon, (2,4-dichlorophenoxy)acetic acid, 4-(2,4-dichlorophenoxy)butanoic acid, vernolate, and xylachlor.

The herbicidal properties of the subject compounds were discovered in a number of greenhouse tests. The test procedures and results are as follows:

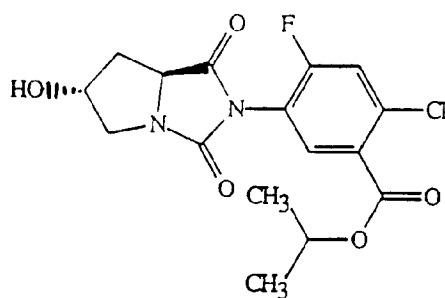
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73

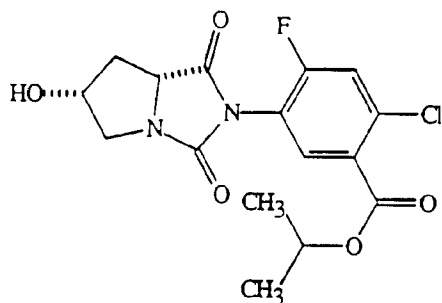
Biological Tables



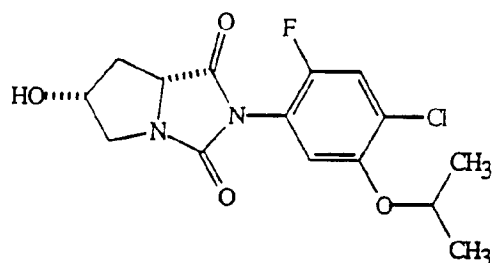
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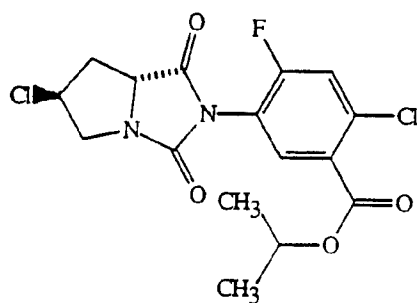
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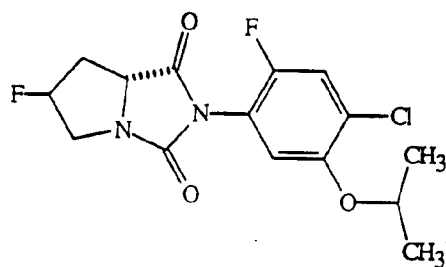
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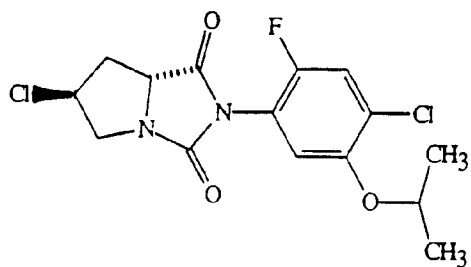
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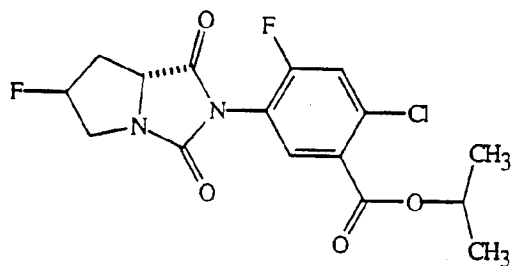
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compound 6

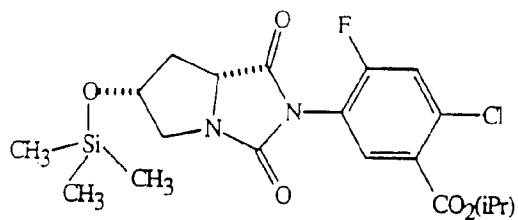


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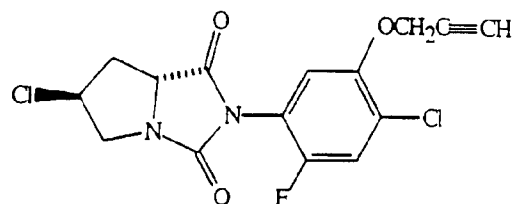


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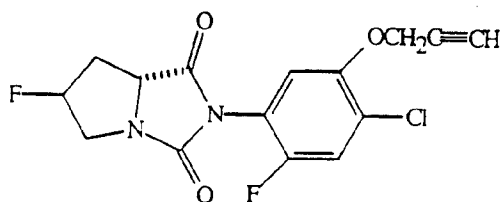
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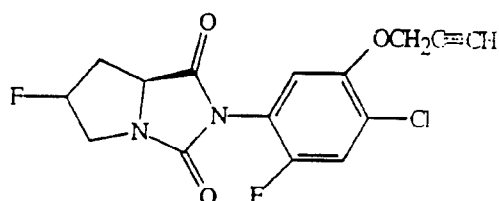
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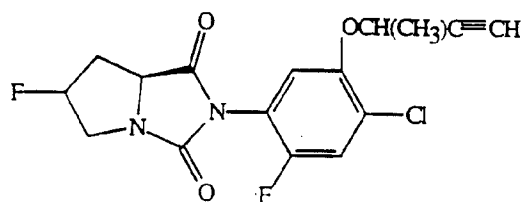
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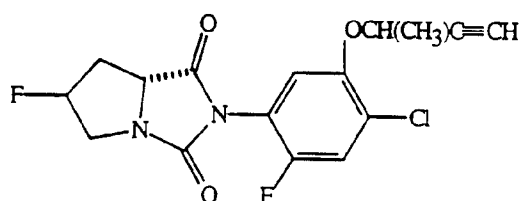
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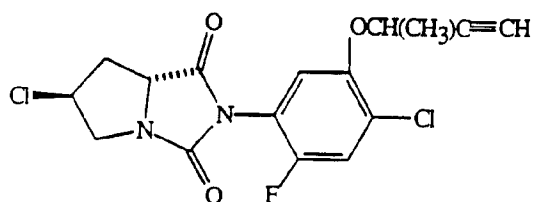
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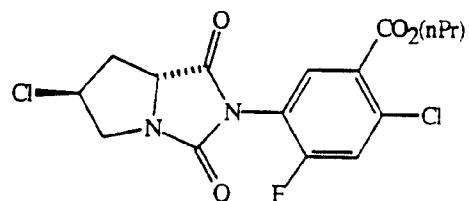
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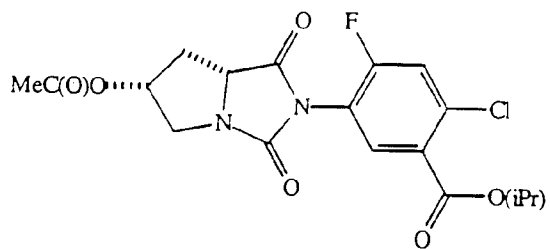


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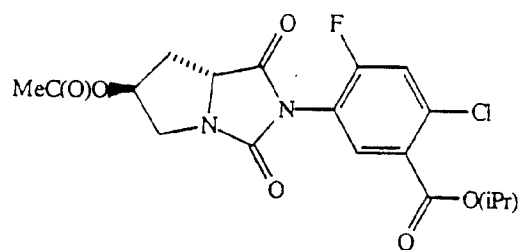


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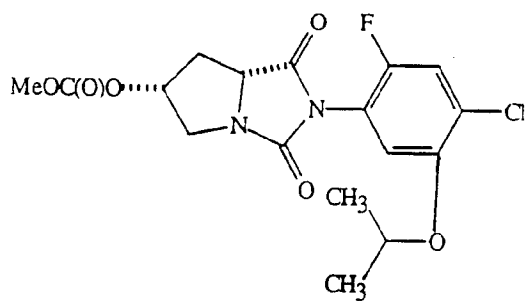
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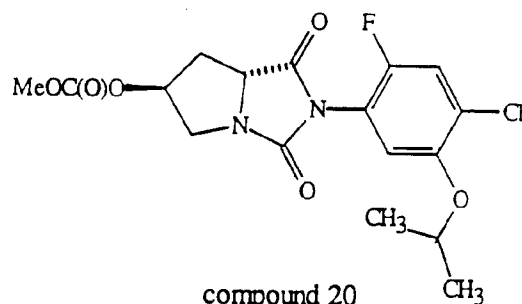
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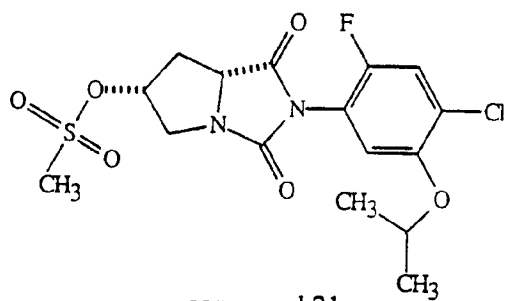
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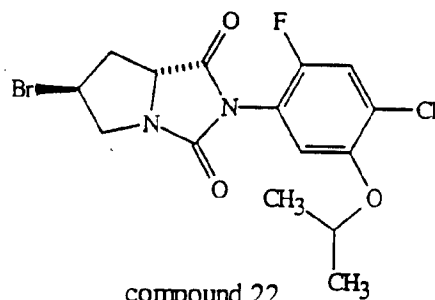
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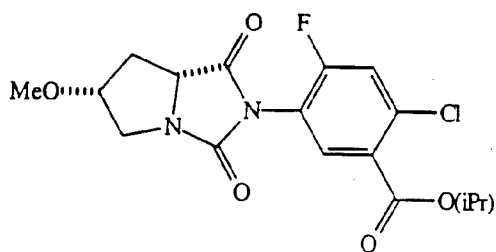
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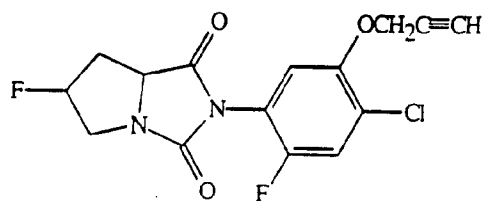
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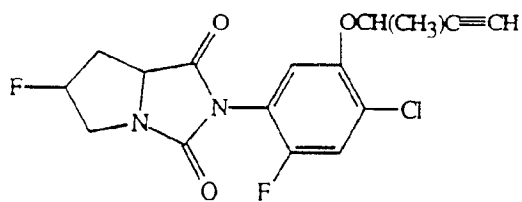
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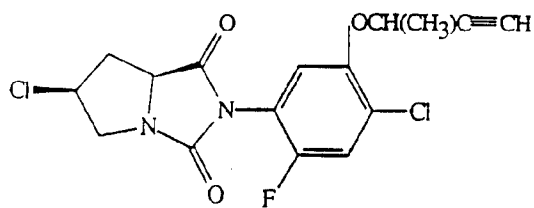
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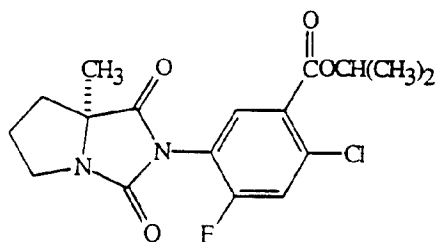
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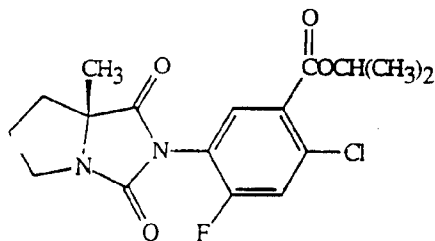
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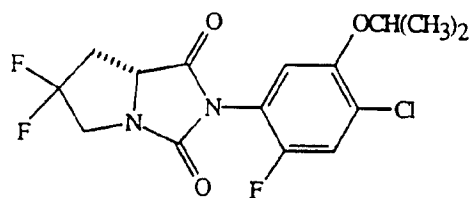
compound 26



compound 27



compound 28



compound 29

### Test procedure

Seeds of crabgrass (*Digitaria* spp.), barnyardgrass (*Echinochloa crus-galli*), giant foxtail (*Setaria faberii*), wild oats (*Avena fatua*), cheatgrass (*Bromus secalinus*), velvetleaf (*Abutilon theophrasti*), morningglory (*Ipomoea* spp.), cocklebur (*Xanthium pensylvanicum*) and sorghum. Nutsedge tubers were planted and treated preemergence with the test chemicals dissolved in a non-phytotoxic solvent. At the same time, these weed species were treated with a soil/foilage application. At the time of treatment, the plants ranged in height from 2 to 18 cm. Treated plants and controls were maintained in a greenhouse for sixteen days, after which all species were visually rated for response to treatment and compared to controls. The ratings, summarized in Table A - E<sub>4</sub>, are based on a numerical scale extending from 0 = no injury, to 10 = complete kill.

The accompanying descriptive symbols have the following meanings:

- C = chlorosis/necrosis;
- B = burn
- H = formative effect;
- G = growth retardation;
- E = emergence inhibition.

Table A: postemergence (application rate 2 kg a.i./ha)

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16
Barnyardgrass	1B	6B	8B	6B	10C	10C	10B	10C	8B	10	10	10	10	10	10	10
Cheat grass	1B	1B	1B	2B	10C	10C	10B	10C	7B	10	10	10	10	10	10	10
Cocklebur	-	4B	-	-	10C	10C	-	10C	-	10	10	10	10	10	10	10
Morningglory	0	7B	6B	8B	10C	10C	10B	10C	9B	10	10	10	10	10	10	10
Sorghum	1B	2B	2B	2B	10C	10C	9B	10C	4B	10	10	10	10	10	10	10
Giant foxtail	1B	4B	7B	8B	10C	10C	10B	10C	9B	10	10	10	10	10	10	10
Crabgrass	1B	6B	7B	3B	10C	10C	10B	10C	8B	10	10	10	10	10	10	10
Velvetleaf	0	5B	8B	7B	10C	10C	10B	10C	8B	10	10	10	10	10	10	10
Wild oats	1B	2B	2B	2B	10C	10C	10B	10C	6B	10	10	10	10	10	10	10

Table B: preemergence (application rate 2 kg a.i./ha)

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16
Barnyardgrass	0	8H, 2C	9H, 3C	9H, 3C	10C	10C	10C	10C	9C, 5H	10	10	10	10	10	10	10
Cheat grass	0	0	5H, 1C	6G	10C	10C	10C	10C	3H	10	10	10	10	10	10	10
Cocklebur	-	6H, 2C	-	-	10C	10C	10C	10C	0	10	10	10	10	10	10	10
Morningglory	0	3G, 1C	2G, 1H	0	10C	10C	10C	10C	1C	10	10	10	10	10	10	10
Sorghum	0	3H, 1C	5H, 1C	3G	10C	10C	10C	10C	0	10	10	10	10	10	10	10
Giant foxtail	0	3H	10C	9H, 3C	10E	10C	10C	10C	10C	10	10	10	10	10	10	10
Crabgrass	0	9H	10C	8H, 1C	10C	10C	10C	10C	9C	10	10	10	10	10	10	10
Velvetleaf	0	10C	10C	9C	10E	10E	10E	10E	9C	10	10	10	10	10	10	10
Wild oats	0	0	4H, 1C	4G, 2C	10C	10C	10C	10C	0	10	10	10	10	10	10	10



Table C: postemergence (application rate 0.2 kg a.i./ha)

	Comp. 17	Comp. 18	Comp. 19	Comp. 20	Comp. 21	Comp. 22	Comp. 23
Corn	2B	2B	1B	0	1B	6B	2B
Wheat	3B	1B	0	0	2B	6B	2B
Barneyardgrass	5B	2B	1B	2B	1B	9B	4B
Cheat grass	3B	2B	0	0	1B	5B	3B
Cocklebur	2B	5B	0	0	1B	9B	3B
Morningglory	5B	6B	2B	1B	1B	10B	6B
Sorghum	-	-	0	0	1B	-	3B
Giant foxtail	4B	3B	1B	1B	1B	7B	4B
Crabgrass	5B	2B	1B	1B	2B	8B	4B
Velvetleaf	3B	4B	1B	1B	1B	10B	4B
Wild oats	2B	1B	0	0	1B	5B	1B

Table D: preemergence (application rate 0.2 kg a.i./ha)

	Comp. 17	Comp. 18	Comp. 19	Comp. 20	Comp. 21	Comp. 22	Comp. 23
Corn	0	0	0	0	0	2C	1G
Wheat	0	0	0	0	0	3C	0
Barneyardgrass	0	0	0	0	0	9H, 5C	1H
Cheat grass	0	0	0	0	0	5C	0
Cocklebur	0	0	0	0	0	7G	0
Morningglory	0	0	0	0	0	3H, 2C	3G
Sorghum	0	0	0	0	0	5G, 2C	0
Giant foxtail	1H	0	0	2G	0	10H	1H
Crabgrass	4G	0	0	2G	0	10H	0
Velvetleaf	0	0	0	0	0	9C	0
Wild oats	0	0	0	0	0	6C	0

...

Table E<sub>1</sub>: postemergence (rate 200 g/ha)

	Comp. 26	Comp. 27	Comp. 28	Comp. 29
Barley	28	28	38	98
Barnyardgrass	48	18	28	108
Bedstraw	108	38	58	108
Blackgrass	28	18	38	98
Cheatgrass	28	18	38	-
Chickweed	68	38	-	98
Cocklebur	98	18	48	108
Corn	28	28	38	88
Cotton	108	98	98	108
Crabgrass	38	28	28	108
Downy brome	-	-	-	98
Giant foxtail	38	38	38	98
Lambsquarter	88	28	78	108
Morningglory	108	28	58	108
Nutsedge	38	0	18	68
Rape	108	28	28	108
Rice	58	38	38	108
Sorghum	38	38	48	108
Soybean	68	28	78	108
Sugar beet	108	28	68	108
Velvetleaf	38	58	38	108
Wheat	48	0	38	98
Wild buckwheat	108	18	68	108
Wild oat	28	28	28	108

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Table E<sub>2</sub>: preemergence (rate 200 g/ha)

	Comp. 26	Comp. 27	Comp. 28	Comp. 29
Barley	0	0	0	5C
Barnyardgrass	1H	3G	0	10C
Bedstraw	9G	2G	10C	10C
Blackgrass	0	0	1C	9C
Cheatgrass	8G	0	1C	-
Chickweed	10C	0	0	10E
Cocklebur	0	0	0	7G
Corn	0	2G	2G	8H
Cotton	0	0	0	10C
Crabgrass	2H	1H	5G	10C
Downy brome	-	-	-	10C
Giant foxtail	0	3G	4G	10C
Lambsquarter	10C	5G	10C	10E
Morningglory	0	0	0	10C
Nutsedge	0	0	0	4C
Rape	0	1H	2G	10E
Rice	2G	0	0	7G
Sorghum	0	0	0	9C
Soybean	0	0	0	9H
Sugar beet	0	1H	9C	10C
Velvetleaf	10C	0	0	10C
Wheat	2C	0	0	7C
Wild buckwheat	10C	0	10C	10E
Wild oat	2G	0	0	9C

...

Table E<sub>1</sub>: postemergence (rate 50 g/ha)

	Comp. 26	Comp. 27	Comp. 28	Comp. 29
Barley	28	0	18	98
Barnyardgrass	38	18	18	98
Bedstraw	88	18	18	108
Blackgrass	18	0	18	78
Cheatgrass	18	18	18	-
Chickweed	38	18	18	98
Cocklebur	78	18	18	108
Corn	28	18	18	88
Cotton	10	18	88	108
Crabgrass	28	18	18	88
Downy brome	-	-	-	68
Giant foxtail	28	18	18	88
Lambsquarter	78	18	48	108
Morningglory	98	18	18	108
Nutsedge	18	0	0	38
Rape	108	0	28	108
Rice	28	18	38	98
Sorghum	28	18	28	98
Soybean	88	18	38	108
Sugar beet	98	0	18	108
Velvetleaf	28	28	18	108
Wheat	38	0	18	88
Wild buckwheat	108	18	28	108
Wild oat	28	0	18	78

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Table E<sub>4</sub>: preemergence (rate 50 g/ha)

	Comp. 26	Comp. 27	Comp. 28	Comp. 29
Barley	0	0	0	5G
Barnyardgrass	0	0	0	10C
Bedstraw	3G	0	0	10C
Blackgrass	0	0	0	9C
Cheatgrass	0	0	0	-
Chickweed	0	0	0	10E
Cocklebur	0	0	0	-
Corn	0	0	0	8H
Cotton	0	0	0	8H
Crabgrass	2H	0	0	10C
Downy brome	-	-	-	9C
Giant foxtail	0	0	0	10C
Lambsquarter	10C	-	2G	10E
Morningglory	0	0	0	9H
Nutsedge	0	0	0	6C
Rape	0	0	0	10E
Rice	0	0	0	7G
Sorghum	0	0	0	8H
Soybean	0	0	0	9H
Sugar beet	0	-	0	9C
Velvetleaf	2G	0	0	10C
Wheat	0	0	0	5G
Wild buckwheat	5G	0	-	9C
Wild oat	0	0	0	9C

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Test B

Plastic tray liners with individual planting compartments were filled with planting medium and seeded separately with dallisgrass (Paspalum dilatatum), bermudagrass (Cynodon dactylon), annual bluegrass (Poa annua), guineagrass (Panicum maximum), broadleaf signalgrass (Brachiaria platyphylla), goosegrass (Eleusine indica), large crabgrass (Digitaria sanguinalis), smooth crabgrass (D. ischaemum), sandbur (Cenchrus echinatus), itchgrass (Rottboellia cochinchinensis), Texas panicum (P. texanum), Johnson grass (Sorghum halepense), alfalfa (Medicago sativa), peanut (Arachis hypogaea), morningglory (Ipomea sp.), ragweed (Ambrosia elatior), purslane (Portulaca oleracea) and Pueraria javanica. Tubers of purple nutsedge (Cyperus rotundus) and yellow nutsedge (C. esculentus) were also planted separately in individual pots.

The plantings were staggered so that the preemergence and postemergence treatments with the compounds formulated in a non-phytotoxic spray solution were applied on the same day. Plants were visually rated compared with the appropriate controls at the end of the test. The injuring ratings were based on the scale of 0 to 100 where 0 indicates no effect, 20 indicates minimal effect and 100 indicates complete control. The variations in the results for the same compound could be due to the fact that the tests were conducted at different times of the year and on plants at different growth stages. The results are shown in Tables E<sub>a</sub> - E<sub>1</sub>.

Table E<sub>a</sub>

	Compound 4	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	0	0
Bermudagrass	0	0
Annual bluegrass	0	0
Guineagrass	0	0
Broadleaf signalgrass	0	0
Goosegrass	0	0
Large crabgrass	0	0
Smooth crabgrass	0	0
Sandbur	0	0
Itchgrass	0	0
Johnson grass	0	0
Morningglory	0	0
Ragweed	0	0
Purslane	0	0
Alfalfa	0	0
Peanut	0	0
Purple nutsedge	0	0
Yellow nutsedge	0	0

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Table E<sub>b</sub>

	Compound 6					
	500	250	125	500	250	125 g/ha
	Preemergence			Postemergence		
Dallisgrass	100	100	100	100	100	100
Bermudagrass	100	100	100	70	100	70
Annual bluegrass	100	100	100	50	50	50
Guineagrass	100	100	100	80	50	40
Broadleaf signalgrass	100	100	90	50	60	60
Goosegrass	100	100	100	100	90	90
Large crabgrass	100	100	100	100	90	90
Smooth crabgrass	100	100	100	90	60	50
Sandbur	100	100	100	100	90	70
Itchgrass	100	100	80	70	50	30
Texas panicum	100	100	100	100	80	50
Johnson grass	100	100	80	30	30	20
Morningglory	100	100	90	100	100	100
Purslane	100	100	100	100	100	100
Alfalfa	100	100	100	100	100	100
Peanut	0	0	0	70	30	20

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Table E<sub>c</sub>

	Compound 6	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	90
Bermudagrass	100	40
Annual bluegrass	100	20
Guineagrass	100	60
Broadleaf signalgrass	100	30
Goosegrass	100	80
Large crabgrass	100	90
Smooth crabgrass	100	50
Sandbur	90	100
Itchgrass	100	20
Johnson grass	100	20
Morningglory	100	100
Ragweed	100	100
Purslane	100	80
Alfalfa	100	100
Peanut	0	30

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Table E<sub>d</sub>

	Compound 6	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	90
Bermudagrass	100	50
Annual bluegrass	100	70
Guineagrass	100	30
Broadleaf signalgrass	100	30
Goosegrass	100	80
Large crabgrass	100	70
Smooth crabgrass	100	50
Sandbur	100	60
Itchgrass	100	30
Johnson grass	100	20
Morningglory	80	100
Ragweed	100	100
Purslane	100	90
Alfalfa	100	100
Peanut	0	70
Purple nutsedge	40	20
Yellow nutsedge	80	80

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Table E<sub>e</sub>

	Compound 7	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	20
Bermudagrass	100	0
Annual bluegrass	80	90
Guineagrass	100	0
Broadleaf signalgrass	100	0
Goosegrass	100	0
Large crabgrass	100	0
Smooth crabgrass	100	0
Sandbur	90	0
Itchgrass	70	0
Johnson grass	60	0
Morningglory	80	100
Ragweed	100	100
Purslane	100	100
Alfalfa	90	100
Peanut	20	60
Purple nutsedge	0	20
Yellow nutsedge	10	50

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Table E<sub>f</sub>

	Compound 11	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	100
Bermudagrass	100	80
Annual bluegrass	100	60
Guineagrass	100	70
Broadleaf signalgrass	100	80
Goosegrass	100	80
Large crabgrass	100	80
Smooth crabgrass	100	60
Sandbur	100	80
Itchgrass	100	100
Johnson grass	100	100
Morningglory	100	100
Ragweed	100	100
Purslane	100	90
Alfalfa	100	100
Peanut	60	100
Purple nutsedge	20	30
Yellow nutsedge	80	100

Table E<sub>g</sub>

	Compound 12	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	90	20
Bermudagrass	20	0
Annual bluegrass	0	0
Guineagrass	80	0
Broadleaf signalgrass	0	0
Goosegrass	100	0
Large crabgrass	90	0
Smooth crabgrass	50	0
Sandbur	60	0
Itchgrass	20	0
Johnson grass	80	0
Morningglory	50	20
Ragweed	30	20
Purslane	100	20
Alfalfa	90	0
Peanut	20	0
Purple nutsedge	0	0
Yellow nutsedge	0	0

SUBSTITUTE SHEET

Table E<sub>n</sub>

	Compound 13	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	0
Bermudagrass	30	0
Annual bluegrass	30	0
Guineagrass	90	0
Broadleaf signalgrass	20	0
Goosegrass	100	0
Large crabgrass	70	0
Smooth crabgrass	90	0
Sandbur	30	0
Itchgrass	20	0
Johnson grass	80	0
Morningglory	40	0
Ragweed	70	0
Purslane	100	30
Alfalfa	40	0
Peanut	0	0
Purple nutsedge	0	0
Yellow nutsedge	0	0

SUBSTITUTE SHEET

Table E<sub>1</sub>

	Compound 14	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	100
Bermudagrass	100	60
Annual bluegrass	100	70
Guineagrass	100	70
Broadleaf signalgrass	100	60
Goosegrass	100	80
Large crabgrass	100	70
Smooth crabgrass	100	50
Sandbur	100	100
Itchgrass	100	70
Johnson grass	100	50
Morningglory	100	100
Ragweed	100	100
Purslane	100	90
Alfalfa	100	100
Peanut	50	100
Purple nutsedge	40	50
Yellow nutsedge	80	100

Table E<sub>j</sub>

	Compound 22	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	90	0
Bermudagrass	90	0
Annual bluegrass	70	0
Guineagrass	100	0
Broadleaf signalgrass	90	0
Goosegrass	100	0
Large crabgrass	100	0
Smooth crabgrass	90	0
Sandbur	90	0
Itchgrass	100	0
Johnson grass	50	0
Morningglory	80	60
Ragweed	100	70
Purslane	100	90
Alfalfa	60	90
Peanut	20	30
Purple nutsedge	0	0
Yellow nutsedge	0	0

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Table E<sub>k</sub>

	Compound 24	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	90
Bermudagrass	100	50
Annual bluegrass	100	50
Guineagrass	100	70
Broadleaf signalgrass	100	30
Goosegrass	100	80
Large crabgrass	100	60
Smooth crabgrass	100	50
Sandbur	100	80
Itchgrass	100	50
Johnson grass	100	50
Morningglory	100	100
Ragweed	100	100
Purslane	100	90
Alfalfa	100	100
Peanut	60	100
Purple nutsedge	60	20
Yellow nutsedge	70	100

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Table E<sub>1</sub>

	Compound 25	
	250 Preemergence	250 g/ha Postemergence
Dallisgrass	100	100
Bermudagrass	100	20
Annual bluegrass	100	0
Guineagrass	100	40
Broadleaf signalgrass	100	40
Goosegrass	100	30
Large crabgrass	100	50
Smooth crabgrass	100	20
Sandbur	100	20
Itchgrass	100	60
Johnson grass	100	20
Morningglory	100	80
Ragweed	100	100
Purslane	100	90
Alfalfa	100	100
Peanut	60	70
Purple nutsedge	0	20
Yellow nutsedge	60	30

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Test C

Windowsill flats were filled with planting medium and seeded with peanut (A. hypogaea), giant foxtail (Setaria faberi), large crabgrass (D. sanguinalis), guineagrass (P. maximum), Johnson grass (S. halepense), nightshade (Solanum nigrum), morningglory (Ipomea sp.) and velvetleaf (Abutilon theophrasti). The plantings were treated preemergence with Compound 6 formulated in a non-phytotoxic spray solution. Plants were visually rated 21 and 40 days-after-treatment (DAT) and compared with the appropriate controls. The injuring ratings were based on the scale use in Test B. The results are shown in Table F.

Test D

Plastic tray liners with individual planting compartments were filled with planting medium and seeded with corn (Zea mays), soybean (Glycine max.), peanut (A. hypogaea), tomato (Lycopersium esculentum), giant foxtail (S. faberi), guineagrass (P. maximum), Johnson grass (S. halepense), velvetleaf (A. theophrasti), morningglory, nightshade varieties - Solanum nigrum, S. nigrum subsp. nigrum, S. ptycanthus (green berries and black berries), S. nigrum subsp. schetesii and S. nigrum (atrazine tolerant).

The plantings were treated preemergence with Compound 6 formulated in a non-phytotoxic spray solution. Plants were visually rated at the end of the test and compared with the appropriate controls. The injury ratings used in Test B were also employed in this test. The results are shown in Table G.

#### Test E

Rooted rough lemon cuttings were planted in 15-cm plastic pots. Another set of 11-cm plastic pots were filled with planting medium were seeded with balsam apple wine (Momordica charantia), sandbur (C. echinatus), pigweed (Amaranthus viridus) and guineagrass (P. maximum).

This citrus was sprayed to simulate the trunk-to-trunk herbicide application method used in citrus groves, the weeds were treated preemergence and the balsam apple wine treated both preemergence and postemergence. All pots were treated with Compound 6 formulated in a non-phytotoxic spray solvent. Plants were visually rated 21 and 65 DAT and compared with appropriate controls. The injury rating scale used in Test B was also used. The results are shown in Table H.

Table F

<u>Species</u>	<u>Compound 6</u>		
	250	125	64
	g/ha		
	Preemergence		
	21 DAT		
Peanut	60	20	0
Giant foxtail	100	100	100
Large crabgrass	100	100	100
Guineagrass	100	100	100
Johnson grass	100	100	100
Nightshade	100	100	100
Morningglory	100	100	80
Velvetleaf	100	100	100
	40 DAT		
Peanut	40	20	0
Giant foxtail	100	100	100
Large crabgrass	100	100	100
Guineagrass	100	100	100
Johnson grass	100	100	90
Nightshade	100	100	100
Morningglory	100	100	80
Velvetleaf	100	100	100

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Table G

<u>Species</u>	<u>Compound 6</u>				
	64	32	16	8	4
	g/ha Preemergence				
Corn	60	60	10	0	0
Soybean	70	40	0	0	0
Peanut	20	0	0	0	0
Tomato	100	100	100	100	90
Giant foxtail	100	100	100	90	40
Guineagrass	100	100	80	80	60
Johnson grass	100	90	30	20	20
Velvetleaf	100	100	100	100	100
Morningglory	50	30	20	0	0
Solanum nigrum	100	100	100	100	100
S. nigrum	100	100	100	100	100
S. nigrum subsp. nigrum	100	100	100	100	100
S. ptycanthus (green berries)	100	100	100	100	100
S. nigrum subsp. schetesi	100	100	100	100	100
S. ptycanthus (black berries)	100	100	100	100	100
S. nigrum (atrazine tolerant)	100	100	100	100	90

Table H

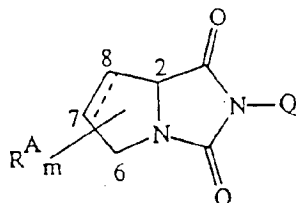
	Compound 6			
	500	250	125	64 g a.i./ha
	21 DAT			
Post directed				
Citrus (rough lemon)	0	0	0	0
Preemergence				
Balsam apple vine	100	100	100	100
Sandbur	100	100	100	100
Pigweed	100	100	100	100
Guineagrass	100	100	100	100
Postemergence				
Balsam apple vine	100	100	100	100
	65 DAT			
Post directed				
Citrus (rough lemon)	0	0	0	0
Preemergence				
Balsam apple vine	100	100	100	100
Sandbur	100	100	100	100
Pigweed	100	100	100	100
Guineagrass	100	100	100	100
Postemergence				
Balsam apple vine	100	100	100	100

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## Claims

What is claimed is:

1. Bicyclic imides of formula I



I

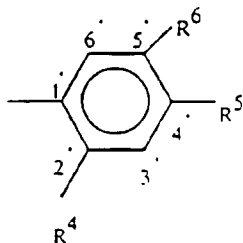
wherein

the bond linking C-7 and C-8 may be single or double;

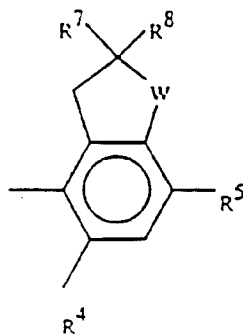
m is 1 - 7;

$R^A$  can occupy one or more of the 2 or 6 - 8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)$ alkyl,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$  and  $C(O)NR^{11}R^{12}$ ;

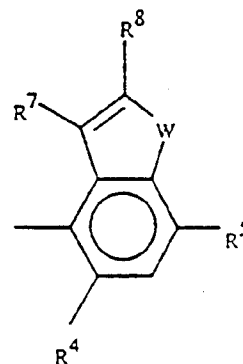
Q is



Q-1



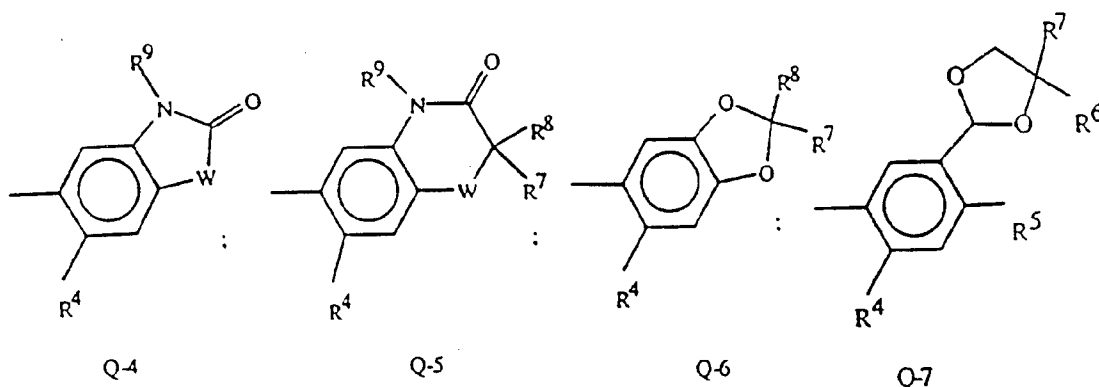
Q-2



Q-3

**SUBSTITUTE SHEET**





wherein

$R^3$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  $(C_1-C_8)$ haloalkyl,  $(C_2-C_8)$ alkoxyalkyl,  $(C_2-C_4)$ carboxy alkyl,  $(C_3-C_8)$ alkoxycarbonylalkyl,  $(C_4-C_8)$ alkenyloxyalkyl,  $(C_4-C_8)$ alkynyloxyalkyl,  $(C_3-C_8)$ haloalkoxyalkyl,  $(C_3-C_8)$ trialkylsilyl,  $(C_3-C_8)$ cyanoalkyl,  $(C_3-C_8)$ haloalkenyl,  $(C_3-C_8)$ haloalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  $(C_2-C_8)$ alkoxycarbonyl,  $(C_2-C_8)$ haloalkoxycarbonyl,  $P(O)(OR^{17})_2$ ,  $CHR^{16}P(O)(OR^{17})_2$  or  $CHR^{16}P(S)(OR^{17})_2$ , phenyl or benzyl optionally substituted with halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  $(C_1-C_4)$ alkoxy;

$R^4$  is hydrogen or halogen;

$R^5$  is  $(C_1-C_2)$ alkyl,  $(C_1-C_2)$ haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  $OCHF_2$ , halogen, CN or  $NO_2$ ;

$R^6$  is hydrogen,  $(C_1-C_8)$ alkyl,  $(C_1-C_8)$ haloalkyl, halogen,  $OR^{10}$ ,  $S(O)_nR^{10}$ ,  $COR^{10}$ ,  $C(O)SR^{10}$ ,  $C(O)NR^{11}R^{12}$ ,  $CHO$ ,  $CH=CHCO_2R^{10}$ ,  $CO_2N=CR^{13}R^{14}$ ,  $NO_2$ , CN,  $NHSO_2R^{15}$  or  $NHSO_2NHR^{15}$ ;

- $R^7$  and  $R^8$  are independently hydrogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or halogen;  
 when Q is Q-2 or Q-6,  $R^7$  and  $R^8$  together with  
 the carbon to which they are attached may be  
 C=O;
- $R^9$  is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkoxyalkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or  
 (C<sub>3</sub>-C<sub>6</sub>)alkynyl;
- $R^{10}$  is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkenyl, (C<sub>3</sub>-C<sub>8</sub>)alkynyl,  
 (C<sub>1</sub>-C<sub>8</sub>)haloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkoxyalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkylthioalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfinylalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfonylalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxyalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)cycloalkylalkyl, (C<sub>2</sub>-C<sub>4</sub>)carboxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkenyloxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkynyloxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)trialkylsilylalkyl, (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)halocycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkenyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl,

$(C_5-C_8)$ alkoxyalkynyl,  
 $(C_5-C_8)$ haloalkoxyalkynyl,  
 $(C_5-C_8)$ alkylthioalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  
 $CHR^{16}COR^{17}$ ,  $CHR^{16}P(O)(OR^{17})_2$ ,  $P(O)(OR^{17})_2$ ,  
 $CHR^{16}P(S)(OR^{17})_2$ ,  $CHR^{16}C(O)NR^{11}R^{12}$ ,  
 $CHR^{16}C(O)NH_2$ ,  $(C_1-C_4)$ alkyl substituted with  
phenoxy or benzyloxy optionally substituted  
with halogen,  $(C_1-C_3)$ alkyl or  
 $(C_1-C_3)$ haloalkyl; benzyl optionally  
substituted with halogen,  $(C_1-C_3)$ alkyl or  
 $(C_1-C_3)$ haloalkyl; or phenyl and pyridyl  
optionally substituted with halogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;  
 $R^{11}$  and  $R^{13}$  are independently hydrogen or  
 $(C_1-C_4)$ alkyl;  
 $R^{12}$  and  $R^{14}$  are independently  $(C_1-C_4)$ alkyl, or  
phenyl optionally substituted with halogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;  
 $R^{11}$  and  $R^{12}$  may be taken together as  $-(CH_2)_5-$ ,  
 $-(CH_2)_4-$  or  $-CH_2CH_2OCH_2CH_2-$ , in which  
optionally one or more H-atoms may be replaced  
by  $(C_1-C_3)$ alkyl, phenyl or benzyl;  
 $R^{13}$  and  $R^{14}$  may be taken together with the carbon  
to which they are attached to form  
 $(C_3-C_8)$ cycloalkyl;  
 $R^{15}$  is  $(C_1-C_4)$ alkyl or  $(C_1-C_4)$ haloalkyl;  
 $R^{16}$  is hydrogen or  $(C_1-C_3)$ alkyl;  
 $R^{17}$  is  $(C_1-C_6)$ alkyl,  $(C_3-C_6)$ alkenyl or  
 $(C_3-C_6)$ alkynyl;  
W is O or S;  
n is 0, 1 or 2;  
provided that

...

when Q is not fused to a ring bridging the 5'- and 6'-position and C-7 and C-8 are linked by a single bond, then at least one R<sup>A</sup> is other than hydroxy, halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl and (C<sub>1</sub>-C<sub>4</sub>)alkoxy.

2. Bicyclic imides selected from the group consisting of 4-[4'-chloro-2'-fluoro-5'-(prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo-[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(2-propynyloxy)phenyl]-3,5-dioxo-7-chloro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methylethoxy)phenyl]-3,5-dioxo-7,7-difluoro-1,4-diazabicyclo[3.3.0]octane and stereoisomers thereof.
3. Bicyclic imides according to Claim 1 or 2, characterized in that at least one R<sup>A</sup> is in the 7-position.
4. Bicyclic imides according to Claim 3, characterized in that at least one R<sup>A</sup> in the 7-position is fluoro, chloro or bromo.
5. Bicyclic imides according to any one of Claims 1 to 4, characterized in that it has 2R-configuration.

...

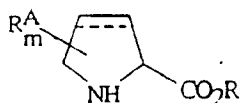
6. A method for preparing bicyclic imides according to Claim 1 or 2 comprising:

(a) reacting a compound of formula III



III

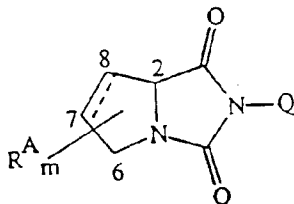
with a compound of formula IV



IV

wherein R=H or (C<sub>1</sub>-C<sub>4</sub>)alkyl, and cyclizing the intermediate.

7. A method for preparing bicyclic imides of formula Ia



Ia

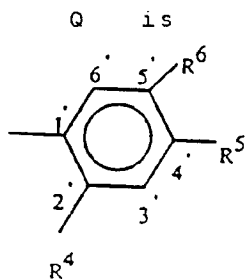
wherein

the bond linking C-7 and C-8 may be single or double;

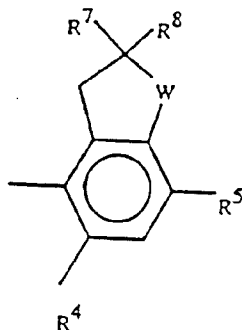
m is 1 - 7;

...

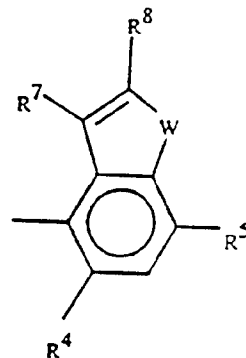
$R^A$  can occupy one or more of the 2 or 6 - 8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)alkyl$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$  and  $C(O)NR^{11}R^{12}$ ;



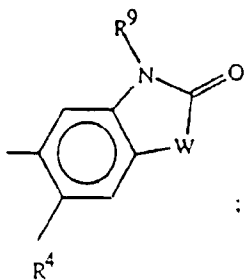
Q-1



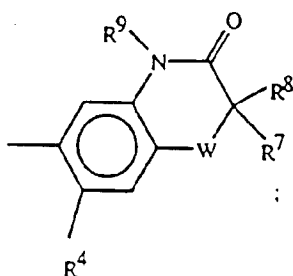
Q-2



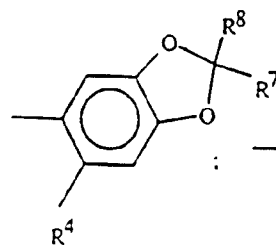
Q-3



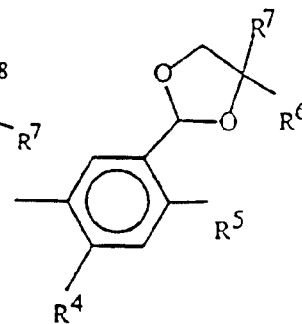
Q-4



Q-5



Q-6



Q-7

wherein

$R^3$  is  $(C_1-C_8)alkyl$ ,  $(C_3-C_8)cycloalkyl$ ,  $(C_3-C_8)alkenyl$ ,  $(C_3-C_8)alkynyl$ ,  $(C_1-C_8)haloalkyl$ ,  $(C_2-C_8)alkoxyalkyl$ ,  $(C_2-C_4)carboxy alkyl$ ,  $(C_3-C_8)alkoxycarbonylalkyl$ ,  $(C_4-C_8)alkenyloxyalkyl$ ,  $(C_4-C_8)alkynyloxyalkyl$ ,  $(C_3-C_8)haloalkoxyalkyl$ ,  $(C_3-C_8)trialkylsilyl$ ,

- (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkoxycarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)haloalkoxycarbonyl, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub> or CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, phenyl  
 or benzyl optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;
- R<sup>4</sup> is hydrogen or halogen;
- R<sup>5</sup> is (C<sub>1</sub>-C<sub>2</sub>)alkyl, (C<sub>1</sub>-C<sub>2</sub>)haloalkyl, OCH<sub>3</sub>, SCH<sub>3</sub>,  
 OCHF<sub>2</sub>, halogen, CN or NO<sub>2</sub>;
- R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>1</sub>-C<sub>8</sub>)haloalkyl,  
 halogen, OR<sup>10</sup>, S(O)<sub>n</sub>R<sup>10</sup>, COR<sup>10</sup>, C(O)SR<sup>10</sup>,  
 C(O)NR<sup>11</sup>R<sup>12</sup>, CHO, CH=CHCO<sub>2</sub>R<sup>10</sup>, CO<sub>2</sub>N=CR<sup>13</sup>R<sup>14</sup>,  
 NO<sub>2</sub>, CN, NHSO<sub>2</sub>R<sup>15</sup> or NHSO<sub>2</sub>NHR<sup>15</sup>;
- R<sup>7</sup> and R<sup>8</sup> are independently hydrogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or halogen;  
 when Q is Q-2 or Q-6, R<sup>7</sup> and R<sup>8</sup> together with  
 the carbon to which they are attached may be  
 C=O;
- R<sup>9</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkoxyalkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or  
 (C<sub>3</sub>-C<sub>6</sub>)alkynyl;
- R<sup>10</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkenyl, (C<sub>3</sub>-C<sub>8</sub>)alkynyl,  
 (C<sub>1</sub>-C<sub>8</sub>)haloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkoxyalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkylthioalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfinylalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfonylalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxyalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)cycloalkylalkyl, (C<sub>2</sub>-C<sub>4</sub>)carboxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkenyloxycarbonylalkyl,

...

(C<sub>6</sub>-C<sub>8</sub>)alkynyloxy carbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)trialkylsilylalkyl, (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)halocycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkenyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 CHR<sup>16</sup>COR<sup>17</sup>, CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub>, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>,  
 CHR<sup>16</sup>C(O)NH<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkyl substituted with  
 phenoxy or benzyloxy optionally substituted  
 with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or  
 (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; benzyl optionally  
 substituted with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or  
 (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; or phenyl and pyridyl  
 optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;  
 R<sup>11</sup> and R<sup>13</sup> are independently hydrogen or  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl;  
 R<sup>12</sup> and R<sup>14</sup> are independently (C<sub>1</sub>-C<sub>4</sub>)alkyl, or  
 phenyl optionally substituted with halogen,



(C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
(C<sub>1</sub>-C<sub>4</sub>)alkoxy;

R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-,  
-(CH<sub>2</sub>)<sub>4</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, in which  
optionally one or more H-atoms may be replaced  
by (C<sub>1</sub>-C<sub>3</sub>)alkyl, phenyl or benzyl;

R<sup>13</sup> and R<sup>14</sup> may be taken together with the carbon  
to which they are attached to form  
(C<sub>3</sub>-C<sub>8</sub>)cycloalkyl;

R<sup>15</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl or (C<sub>1</sub>-C<sub>4</sub>)haloalkyl;

R<sup>16</sup> is hydrogen or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

R<sup>17</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or  
(C<sub>3</sub>-C<sub>6</sub>)alkynyl;

W is O or S;

n is 0, 1 or 2;

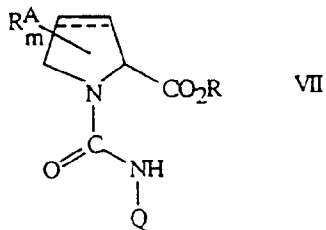
selected from the group consisting of (b) or (c):

(b) reacting a compound of formula IV, wherein R=H  
or (C<sub>1</sub>-C<sub>4</sub>)alkyl, with phosgene and then with  
an amine of formula VI



VI

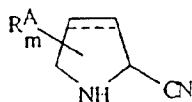
to form compounds of formula VII,



and cyclizing the compounds of formula VII, or

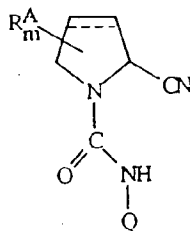
...

- (c) reacting a compound of formula III with a compound of formula VIII



VIII

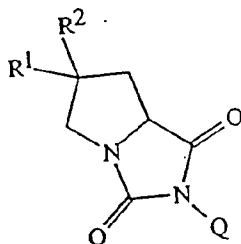
to form a compound of formula IX,



IX

and hydrolyzing and cyclizing the compound of formula IX.

8. A method for making bicyclic imides of Claim 2 or of formula I of Claim 1 comprising preparing a compound of formula II



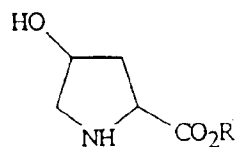
II

wherein

R<sup>1</sup> is R<sup>A</sup>

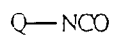
R<sup>2</sup> is R<sup>A</sup> and H

comprising reacting a compound of formula X,



X

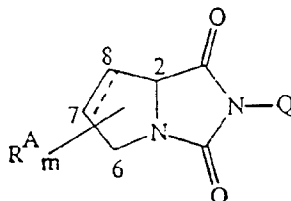
wherein R=H or (C<sub>1</sub>-C<sub>4</sub>)alkyl, with a compound of general formula III,



III

and converting the reaction product formed thereby.

9. A method for making compounds of formula Ia



Ia

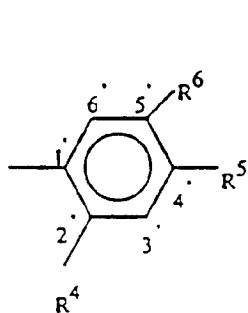
wherein

the bond linking C-7 and C-8 may be single or double;

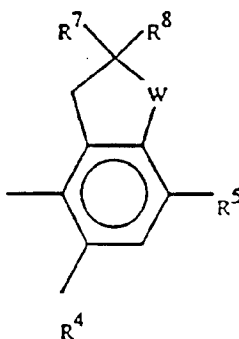
m is 1 - 7;

$R^A$  can occupy one or more of the 2 or 6 - 8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)alkyl$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$  and  $C(O)NR^{11}R^{12}$ ;

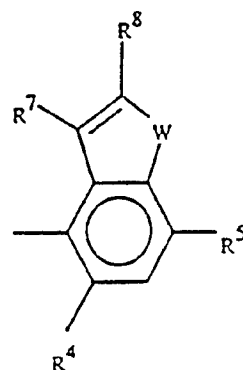
Q is



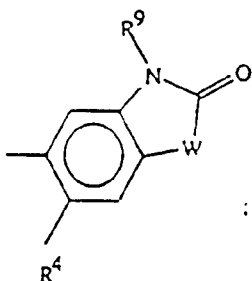
Q-1



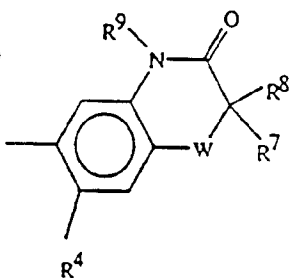
Q-2



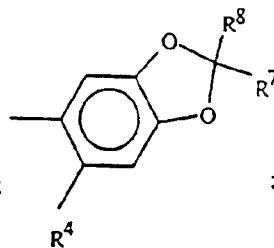
Q-3



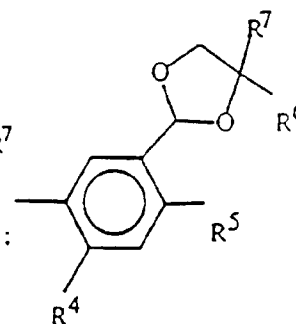
Q-4



Q-5



Q-6



Q-7

wherein

$R^3$  is  $(C_1-C_8)alkyl$ ,  $(C_3-C_8)cycloalkyl$ ,  $(C_3-C_8)alkenyl$ ,  $(C_3-C_8)alkynyl$ ,  $(C_1-C_8)haloalkyl$ ,  $(C_2-C_8)alkoxyalkyl$ ,

- (C<sub>2</sub>-C<sub>4</sub>)carboxy alkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)trialkylsilyl,  
 (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkoxycarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)haloalkoxycarbonyl, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub> or CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, phenyl  
 or benzyl optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;  
 R<sup>4</sup> is hydrogen or halogen;  
 R<sup>5</sup> is (C<sub>1</sub>-C<sub>2</sub>)alkyl, (C<sub>1</sub>-C<sub>2</sub>)haloalkyl, OCH<sub>3</sub>, SCH<sub>3</sub>,  
 OCHF<sub>2</sub>, halogen, CN or NO<sub>2</sub>;  
 R<sup>6</sup> is OR<sup>10</sup>, S(O)<sub>n</sub>R<sup>10</sup>, NHSO<sub>2</sub>R<sup>15</sup> or NHSO<sub>2</sub>NHR<sup>15</sup>;  
 R<sup>7</sup> and R<sup>8</sup> are independently hydrogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or halogen;  
 when Q is Q-2 or Q-6, R<sup>7</sup> and R<sup>8</sup> together with  
 the carbon to which they are attached may be  
 C=O;  
 R<sup>9</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkoxyalkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or  
 (C<sub>3</sub>-C<sub>6</sub>)alkynyl;  
 R<sup>10</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkenyl, (C<sub>3</sub>-C<sub>8</sub>)alkynyl,  
 (C<sub>1</sub>-C<sub>8</sub>)haloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkoxyalkyl,  
 (C<sub>2</sub>-C<sub>6</sub>)alkylthioalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfinylalkyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkylsulfonylalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxyalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)cycloalkylalkyl, (C<sub>2</sub>-C<sub>4</sub>)carboxyalkyl,

...

(C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkenyloxy carbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)alkynyloxy carbonylalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)haloalkynyloxyalkyl,  
 (C<sub>6</sub>-C<sub>8</sub>)cycloalkylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)trialkylsilylalkyl, (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)halocycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkenyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 CHR<sup>16</sup>COR<sup>17</sup>, CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub>, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>,  
 CHR<sup>16</sup>C(O)NH<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkyl substituted with  
 phenoxy or benzyloxy optionally substituted  
 with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or  
 (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; benzyl optionally  
 substituted with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or  
 (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; or phenyl and pyridyl  
 optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;  
 R<sup>11</sup> and R<sup>13</sup> are independently hydrogen or  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl;

$R^{12}$  and  $R^{14}$  are independently  $(C_1-C_4)$ alkyl, or phenyl optionally substituted with halogen,  $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  $(C_1-C_4)$ alkoxy;

$R^{11}$  and  $R^{12}$  may be taken together as  $-(CH_2)_5-$ ,  $-(CH_2)_4-$  or  $-CH_2CH_2OCH_2CH_2-$ , in which optionally one or more H-atoms may be replaced by  $(C_1-C_3)$ alkyl, phenyl or benzyl;

$R^{13}$  and  $R^{14}$  may be taken together with the carbon to which they are attached to form  $(C_3-C_8)$ cycloalkyl;

$R^{15}$  is  $(C_1-C_4)$ alkyl or  $(C_1-C_4)$ haloalkyl;

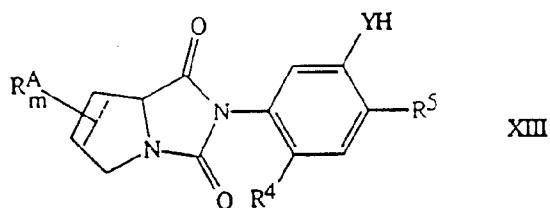
$R^{16}$  is hydrogen or  $(C_1-C_3)$ alkyl;

$R^{17}$  is  $(C_1-C_6)$ alkyl,  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl;

W is O or S;

n is 0, 1 or 2;

comprising reacting a compound of the formula XIII



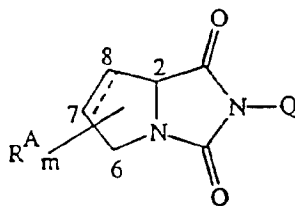
wherein Y = O, S, NH with a halide selected from the group

$R^{10}-Z$ ,  $R^{15}SO_2-Z$ , and  $R^{15}NHSO_2-Z$

wherein Z is chlorine, bromine or iodine.

...

10. A composition for controlling weeds comprising an effective amount of a compound of Claim 1 or 2 and at least one carrier therefor.
11. A method for controlling weeds comprising applying to the locus to be protected an effective amount of the compound of Claim 1 or 2.
12. A method for controlling weeds in plantation crops and peanut comprising applying to the locus to be protected an effective amount of a compound of formula Ia:



Ia

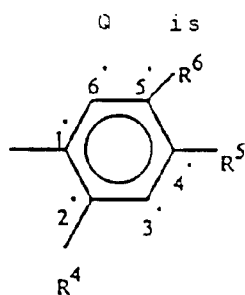
wherein

the bond linking C-7 and C-8 may be single or double;

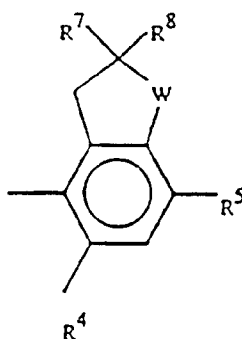
m is 1 - 7;

$R^A$  can occupy one or more of the 2 or 6-8 positions and is independently selected from the group: hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)alkyl$ ,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$ , and  $C(O)NR^{11}R^{12}$ ;

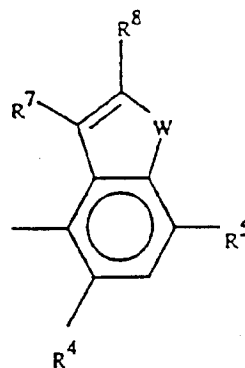




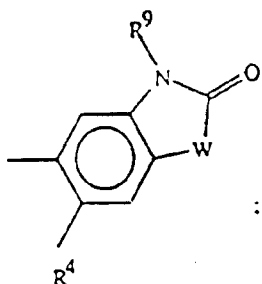
Q-1



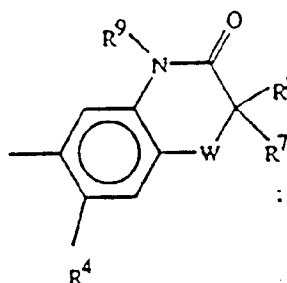
Q-2



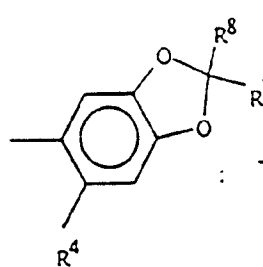
Q-3



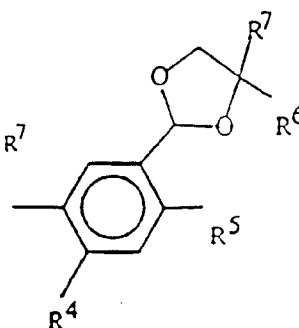
Q-4



Q-5



Q-6



Q-7

wherein

$R^3$  is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkenyl, (C<sub>3</sub>-C<sub>8</sub>)alkynyl,  
 (C<sub>1</sub>-C<sub>8</sub>)haloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkoxyalkyl,  
 (C<sub>2</sub>-C<sub>4</sub>)carboxy alkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)trialkylsilyl,  
 (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)alkoxycarbonyl,  
 (C<sub>2</sub>-C<sub>8</sub>)haloalkoxycarbonyl, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub> or CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, phenyl  
 or benzyl optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

**SUBSTITUTE SHEET**

- R<sup>4</sup> is hydrogen or halogen;
- R<sup>5</sup> is (C<sub>1</sub>-C<sub>2</sub>)alkyl, (C<sub>1</sub>-C<sub>2</sub>)haloalkyl, OCH<sub>3</sub>, SCH<sub>3</sub>, OCHF<sub>2</sub>, halogen, CN or NO<sub>2</sub>;
- R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>1</sub>-C<sub>8</sub>)haloalkyl, halogen, OR<sup>10</sup>, S(O)<sub>n</sub>R<sup>10</sup>, COR<sup>10</sup>, C(O)SR<sup>10</sup>, C(O)NR<sup>11</sup>R<sup>12</sup>, CHO, CH=CHCO<sub>2</sub>R<sup>10</sup>, CO<sub>2</sub>N=CR<sup>13</sup>R<sup>14</sup>, NO<sub>2</sub>, CN, NHSO<sub>2</sub>R<sup>15</sup> or NHSO<sub>2</sub>NHR<sup>15</sup>;
- R<sup>7</sup> and R<sup>8</sup> are independently hydrogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or halogen; when Q is Q-2 or Q-6, R<sup>7</sup> and R<sup>8</sup> together with the carbon to which they are attached may be C=O;
- R<sup>9</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl, (C<sub>2</sub>-C<sub>6</sub>)alkoxyalkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or (C<sub>3</sub>-C<sub>6</sub>)alkynyl;
- R<sup>10</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)alkenyl, (C<sub>3</sub>-C<sub>8</sub>)alkynyl, (C<sub>1</sub>-C<sub>8</sub>)haloalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkoxyalkyl, (C<sub>2</sub>-C<sub>6</sub>)alkylthioalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkylsulfinylalkyl, (C<sub>2</sub>-C<sub>8</sub>)alkylsulfonylalkyl, (C<sub>3</sub>-C<sub>8</sub>)alkoxyalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)cycloalkylalkyl, (C<sub>2</sub>-C<sub>4</sub>)carboxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)alkoxycarbonylalkyl, (C<sub>6</sub>-C<sub>8</sub>)alkenyloxycarbonylalkyl, (C<sub>6</sub>-C<sub>8</sub>)alkynyloxycarbonylalkyl, (C<sub>6</sub>-C<sub>8</sub>)cycloalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)alkenyloxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)alkynyloxyalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkoxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)haloalkenyloxyalkyl, (C<sub>4</sub>-C<sub>8</sub>)haloalkynyloxyalkyl, (C<sub>6</sub>-C<sub>8</sub>)cycloalkylthioalkyl,

(C<sub>4</sub>-C<sub>8</sub>)alkenylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)alkynylthioalkyl,  
 (C<sub>4</sub>-C<sub>8</sub>)trialkylsilylalkyl, (C<sub>3</sub>-C<sub>8</sub>)cyanoalkyl,  
 (C<sub>3</sub>-C<sub>8</sub>)halocycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkenyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkenyl, (C<sub>3</sub>-C<sub>8</sub>)haloalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)haloalkoxyalkynyl,  
 (C<sub>5</sub>-C<sub>8</sub>)alkylthioalkynyl, (C<sub>2</sub>-C<sub>8</sub>)alkylcarbonyl,  
 CHR<sup>16</sup>COR<sup>17</sup>, CHR<sup>16</sup>P(O)(OR<sup>17</sup>)<sub>2</sub>, P(O)(OR<sup>17</sup>)<sub>2</sub>,  
 CHR<sup>16</sup>P(S)(OR<sup>17</sup>)<sub>2</sub>, CHR<sup>16</sup>C(O)NR<sup>11</sup>R<sup>12</sup>,  
 CHR<sup>16</sup>C(O)NH<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkyl substituted with  
 phenoxy or benzyloxy optionally substituted  
 with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or  
 (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; benzyl optionally  
 substituted with halogen, (C<sub>1</sub>-C<sub>3</sub>)alkyl or  
 (C<sub>1</sub>-C<sub>3</sub>)haloalkyl; or phenyl and pyridyl  
 optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

R<sup>11</sup> and R<sup>13</sup> are independently hydrogen or  
 (C<sub>1</sub>-C<sub>4</sub>)alkyl;

R<sup>12</sup> and R<sup>14</sup> are independently (C<sub>1</sub>-C<sub>4</sub>)alkyl, or  
 phenyl optionally substituted with halogen,  
 (C<sub>1</sub>-C<sub>3</sub>)alkyl, (C<sub>1</sub>-C<sub>3</sub>)haloalkyl or  
 (C<sub>1</sub>-C<sub>4</sub>)alkoxy;

R<sup>11</sup> and R<sup>12</sup> may be taken together as -(CH<sub>2</sub>)<sub>5</sub>-,  
 -(CH<sub>2</sub>)<sub>4</sub>- or -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>-, in which  
 optionally one or more H-atoms may be replaced  
 by (C<sub>1</sub>-C<sub>3</sub>)alkyl, phenyl or benzyl;

R<sup>13</sup> and R<sup>14</sup> may be taken together with the carbon  
 to which they are attached to form  
 (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl;

...

R<sup>15</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl or (C<sub>1</sub>-C<sub>4</sub>)haloalkyl;

R<sup>16</sup> is hydrogen or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

R<sup>17</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl; (C<sub>3</sub>-C<sub>6</sub>)alkenyl or  
(C<sub>3</sub>-C<sub>6</sub>)alkynyl;

W is O or S;

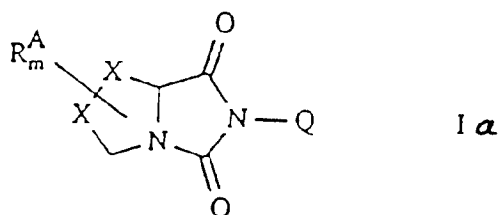
n is 0, 1, or 2.

13. A method of Claim 12 wherein the plantation crop is selected from the group consisting of citrus, sugarcane, coffee, banana, oil palm, grapes and rubber.

14. A method of Claim 12 or 13 employing at least one of the compounds of the group consisting of 4-[4'-chloro-2'-fluoro-5'-(1-methylethoxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-ethoxy)phenyl]-3,5-dioxo-7,7-difluoro-1,4-diazabicyclo[3.3.0]octane, 6-fluoro-2-(7-fluoro-3-oxo-4-prop-2-ynyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-tetrahydropyrrolo[1,2-climidazole-1,3-dione, 6,6-difluoro-2-(7-fluoro-3-oxo-4-prop-2-ynyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-tetrahydropyrrolo[1,2-climidazole-1,3-dione (JUPAC), 4-[2-chloro-4-fluoro-5-(6-fluoro-1,3-dioxo-tetrahydropyrrolo[1,2-climidazol-2-yl)phenoxy]but-

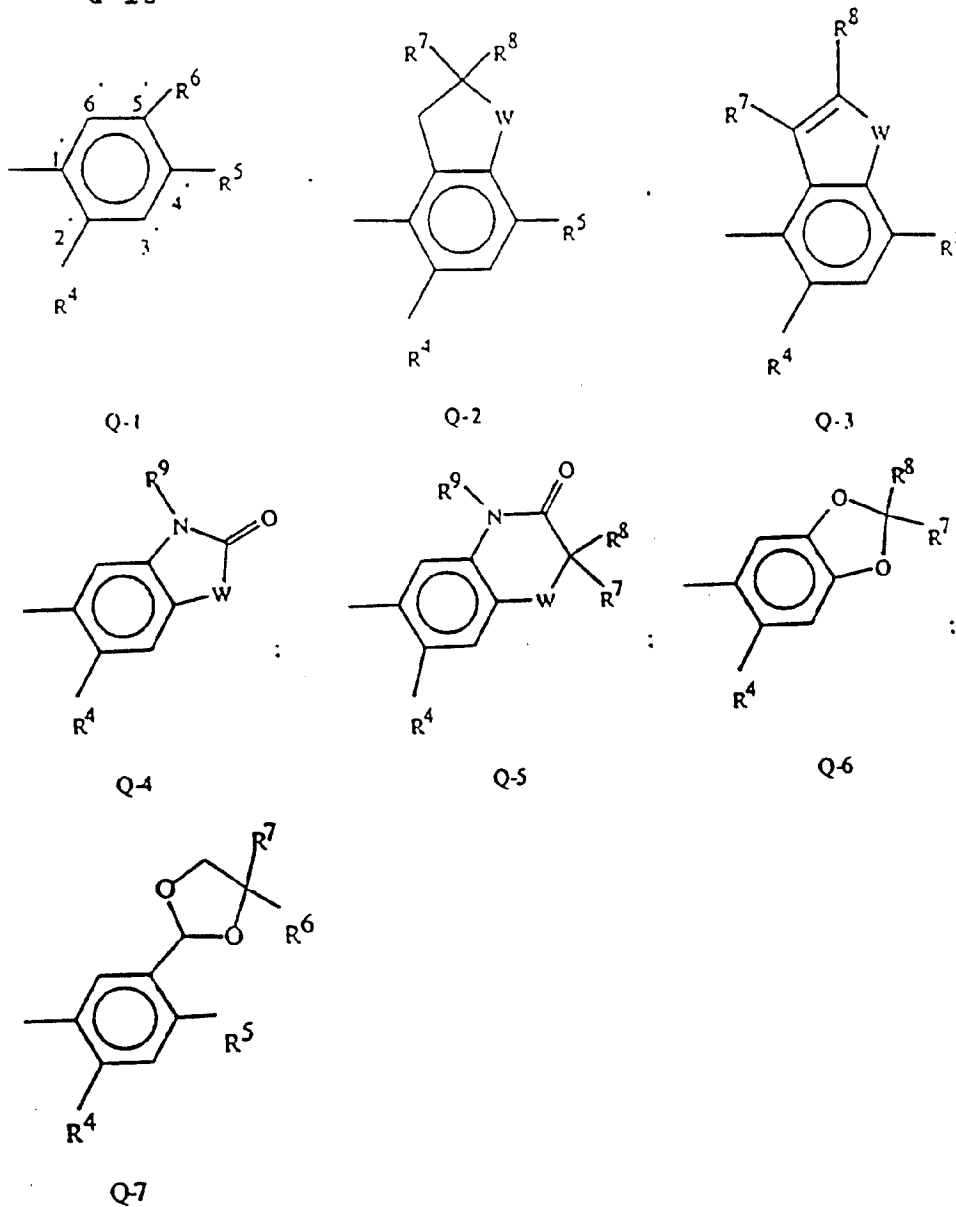
2-enoic acid methyl ester (JUPAC) and stereoisomers thereof.

15. A method of Claim 12 wherein the crop is peanut and the compound is applied preemergence.
16. Use of compounds of claim 1 or 2 as herbicide.
17. Use of compounds of formula Ia for controlling weeds in plantation crops and peanut:



wherein X — X represents C=C or C-C; m is 5 or 7;  $R^A$  fills up the free 2, 6-8 positions and are, independently of each other, hydrogen, hydroxy, halogen, CN,  $OR^3$ ,  $(C_1-C_4)$ alkyl,  $S(O)_nR^3$ ,  $COR^3$ ,  $C(O)SR^3$ ,  $C(O)NR^{11}R^{12}$ , with the measure that at least one  $R^A$  is not hydrogen;

Q is



wherein

$R^3$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  
 $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  
 $(C_1-C_8)$ haloalkyl,  $(C_2-C_8)$ alkoxyalkyl,  
 $(C_2-C_4)$ carboxy alkyl,  
 $(C_3-C_8)$ alkoxycarbonylalkyl,

- $(C_4-C_8)$ alkenyloxyalkyl,  $(C_4-C_8)$ alkynyloxyalkyl,  
 $(C_3-C_8)$ haloalkoxyalkyl,  $(C_3-C_8)$ trialkylsilyl,  
 $(C_3-C_8)$ cyanoalkyl,  $(C_3-C_8)$ haloalkenyl,  
 $(C_3-C_8)$ haloalkynyl,  $(C_2-C_8)$ alkylcarbonyl,  
 $(C_2-C_8)$ alkoxycarbonyl,  
 $(C_2-C_8)$ haloalkoxycarbonyl,  $P(O)(OR^{17})_2$ ,  
 $CHR^{16}P(O)(OR^{17})_2$  or  $CHR^{16}P(S)OR^{17})_2$ , phenyl or  
benzyl optionally substituted with halogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or  
 $(C_1-C_4)$ alkoxy;  
 $R^4$  is hydrogen or halogen;  
 $R^5$  is  $(C_1-C_2)$ alkyl,  $(C_1-C_2)$ haloalkyl,  $OCH_3$ ,  $SCH_3$ ,  
 $OCHF_2$ , halogen, CN or  $NO_2$ ;  
 $R^6$  is hydrogen,  $(C_1-C_8)$ alkyl,  $(C_1-C_8)$ haloalkyl,  
halogen,  $OR^{10}$ ,  $S(O)_nR^{10}$ ,  $COR^{10}$ ,  $C(O)SR^{10}$ ,  
 $C(O)NR^{11}R^{12}$ ,  $CHO$ ,  $CH=CHCO_2R^{10}$ ,  $CO_2N=CR^{13}R^{14}$ ,  
 $NO_2$ , CN,  $NHSO_2R^{15}$  or  $NHSO_2NHR^{15}$ ;  
 $R^7$  and  $R^8$  are independently hydrogen,  
 $(C_1-C_3)$ alkyl,  $(C_1-C_3)$ haloalkyl or halogen; when  
Q is Q-2 or Q-6,  $R^7$  and  $R^8$  together with the  
carbon to which they are attached may be C=O;  
 $R^9$  is  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ haloalkyl,  
 $(C_2-C_6)$ alkoxyalkyl,  $(C_3-C_6)$ alkenyl or  
 $(C_3-C_6)$ alkynyl;  
 $R^{10}$  is  $(C_1-C_8)$ alkyl,  $(C_3-C_8)$ cycloalkyl,  
 $(C_3-C_8)$ alkenyl,  $(C_3-C_8)$ alkynyl,  
 $(C_1-C_8)$ haloalkyl,  $(C_2-C_8)$ alkoxyalkyl,  
 $(C_2-C_6)$ alkylthioalkyl,  
 $(C_2-C_8)$ alkylsulfinylalkyl,  
 $(C_2-C_8)$ alkylsulfonylalkyl,  
 $(C_3-C_8)$ alkoxyalkoxyalkyl,  
 $(C_4-C_8)$ cycloalkylalkyl,  $(C_2-C_4)$ carboxyalkyl,  
 $(C_3-C_8)$ alkoxycarbonylalkyl,

...

$(C_6-C_8)$  alkenyloxycarbonylalkyl,  
 $(C_6-C_8)$  alkynyloxycarbonylalkyl,  
 $(C_6-C_8)$  cycloalkoxyalkyl,  
 $(C_4-C_8)$  alkenyloxyalkyl,  $(C_4-C_8)$  alkynyloxyalkyl,  
 $(C_3-C_8)$  haloalkoxyalkyl,  
 $(C_4-C_8)$  haloalkenyloxyalkyl,  
 $(C_4-C_8)$  haloalkynyloxyalkyl,  
 $(C_6-C_8)$  cycloalkylthioalkyl,  
 $(C_4-C_8)$  alkenylthioalkyl,  
 $(C_4-C_8)$  alkynylthioalkyl,  
 $(C_4-C_8)$  trialkylsilylalkyl,  $(C_3-C_8)$  cyanoalkyl,  
 $(C_3-C_8)$  halocycloalkyl,  $(C_3-C_8)$  haloalkenyl,  
 $(C_5-C_8)$  alkoxyalkenyl,  $(C_5-C_8)$  haloalkoxyalkenyl,  
 $(C_5-C_8)$  alkylthioalkenyl,  $(C_3-C_8)$  haloalkynyl,  
 $(C_5-C_8)$  alkoxyalkynyl,  $(C_5-C_8)$  haloalkoxyalkynyl,  
 $(C_5-C_8)$  alkylthioalkynyl,  $(C_2-C_8)$  alkylcarbonyl,  
 $CHR^{16}COR^{17}$ ,  $CHR^{16}P(O)(OR^{17})_2$ ,  $P(O)(OR^{17})_2$ ,  
 $CHR^{16}P(S)(OR^{17})_2$ ,  $CHR^{16}C(O)NR^{11}R^{12}$ ,  
 $CHR^{16}C(O)NH_2$ ,  $(C_1-C_4)$  alkyl substituted with  
phenoxy or benzyloxy optionally substituted  
with halogen,  $(C_1-C_3)$  alkyl or  $(C_1-C_3)$  haloalkyl;  
benzyl optionally substituted with halogen,  
 $(C_1-C_3)$  alkyl or  $(C_1-C_3)$  haloalkyl; or phenyl and  
pyridyl optionally substituted with halogen,  
 $(C_1-C_3)$  alkyl,  $(C_1-C_3)$  haloalkyl or  
 $(C_1-C_4)$  alkoxy;  
 $R^{11}$  and  $R^{13}$  are independently hydrogen or  
 $(C_1-C_4)$  alkyl;  
 $R^{12}$  and  $R^{14}$  are independently  $(C_1-C_4)$  alkyl, or  
phenyl optionally substituted with halogen,  
 $(C_1-C_3)$  alkyl,  $(C_1-C_3)$  haloalkyl or  
 $(C_1-C_4)$  alkoxy;



- $R^{11}$  and  $R^{12}$  may be taken together as  $-(CH_2)_5-$ ,  $-(CH_2)_4-$  or  $-CH_2CH_2OCH_2CH_2-$ , each ring optionally substituted with  $(C_1-C_3)$ alkyl, phenyl or benzyl;
- $R^{13}$  and  $R^{14}$  may be taken together with the carbon to which they are attached to form  $(C_3-C_8)$ cycloalkyl;
- $R^{15}$  is  $(C_1-C_4)$ alkyl or  $(C_1-C_4)$ haloalkyl;
- $R^{16}$  is hydrogen or  $(C_1-C_3)$ alkyl;
- $R^{17}$  is  $(C_1-C_6)$ alkyl,  $(C_3-C_6)$ alkenyl or  $(C_3-C_6)$ alkynyl;
- W is 0 or S;
- n is 0, 1, or 2.

18. A use of claim 17 wherein the plantation crop is selected from citrus, sugarcane, coffee, banana, oil palm, grapes or rubber.
19. A use of Claim 17 or 18 employing at least one of the compounds of the group consisting of 4-[4'-chloro-2'-fluoro-5'-(1-methylethoxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(prop-2-ynyloxy)phenyl]-3,5-dioxo-7-fluoro-1,4-diazabicyclo[3.3.0]octane, 4-[4'-chloro-2'-fluoro-5'-(1-methyl-ethoxy)phenyl]-3,5-dioxo-7,7-difluoro-1,4-diazabicyclo[3.3.0]octane, 6-fluoro-2-(7-fluoro-3-oxo-4-prop-2-ynyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-tetrahydro-

...

pyrrolo[1,2-climidazole-1,3-dione, 6,6-difluoro-2-(7-fluoro-3-oxo-4-prop-2-ynyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-tetrahydropyrrolo[1,2-climidazole-1,3-dione (JUPAC), 4-[2-chloro-4-fluoro-5-(6-fluoro-1,3-dioxo-tetrahydropyrrolo[1,2-climidazol-2-yl)phenoxy]but-2-enoic acid methyl ester (JUPAC) and stereoisomers thereof.

20. A use of claim 17 wherein the plantation crop is peanut and the compound is applied preemergence.

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 93/02413

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 5 C07D487/04 A01N43/90 C07F9/6561 C07F7/18 /  
 /(C07D487/04,235:00,209:00)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 5 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP,A,0 493 323 (SANDOZ) 1 July 1992 cited in the application see claims 1,6 -----	1,10

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
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- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

29 November 1993

Date of mailing of the international search report

7. 12. 93

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Alfaro Faus, I

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 93/02413

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP-A-0493323	01-07-92	AU-B- 642062	07-10-93
		AU-A- 8979291	25-06-92
		CA-A- 2057838	19-06-92
		JP-A- 4308591	30-10-92
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